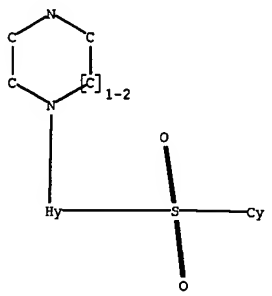
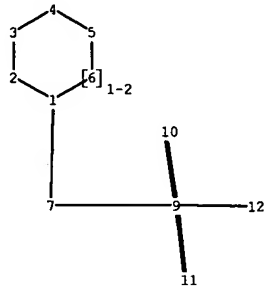
C:\Documents and Settings\EBernhardt\My Documents\Stnexp\Queries\10509077-2.str





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7 9 10 11 12
ring nodes :
1 2 3 4 5 6
chain bonds :
1-7 7-9 9-10 9-11 9-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 5-6 7-9 9-10 9-11 9-12

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 9:CLASS 10:CLASS
11:CLASS 12:Atom
Generic attributes :
7:
Saturation : Unsaturated
Type of Ring System : Polycyclic
12:
Saturation : Unsaturated

Element Count :
Node 7: Limited
N,N2
O,O0
S,S0

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=> s l1
SAMPLE SEARCH INITIATED 14:07:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     8246 TO ITERATE

 24.3% PROCESSED     2000 ITERATIONS                                  3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       159477 TO   170363
PROJECTED ANSWERS:              36 TO      458

L2            3 SEA SSS SAM L1

=> d l2 1-3

L2   ANSWER 1 OF 3  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   744219-62-3  REGISTRY
ED   Entered STN:  14 Sep 2004
CN   Benzonitrile, 3-[[5-(1-piperazinyl)-1H-indazol-3-yl]sulfonyl]- (9CI)  (CA
     INDEX NAME)
OTHER NAMES:
CN   5-Piperazin-1-yl-3-[(3-cyanophenyl)sulfonyl]-1H-indazole
FS   3D CONCORD
MF   C18 H17 N5 O2 S
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPATFULL
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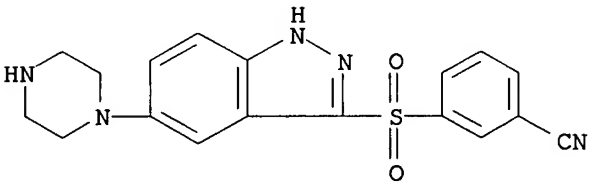


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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

             1 REFERENCES IN FILE CA (1907 TO DATE)
             1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2   ANSWER 2 OF 3  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   744218-94-8  REGISTRY
ED   Entered STN:  14 Sep 2004
CN   Benzonitrile, 4-[[5-(4-methyl-1-piperazinyl)-1H-indazol-3-yl]sulfonyl]-
     (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C19 H19 N5 O2 S
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPATFULL
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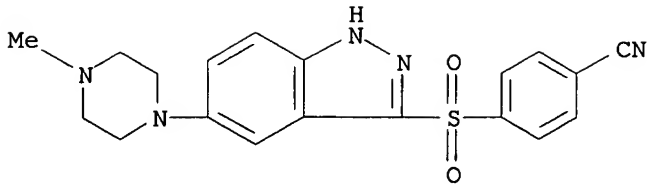
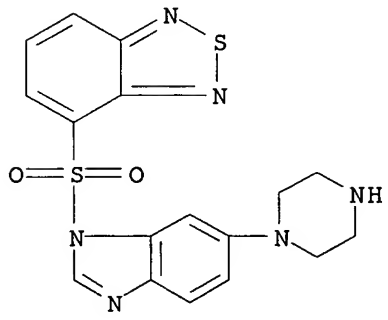


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2006 ACS on STN
RN 423175-04-6 REGISTRY
ED Entered STN: 30 May 2002
CN 1H-Benzimidazole, 1-(2,1,3-benzothiadiazol-4-ylsulfonyl)-6-(1-piperazinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H16 N6 O2 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s l1 sss full
FULL SEARCH INITIATED 14:08:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 164214 TO ITERATE

100.0% PROCESSED 164214 ITERATIONS 361 ANSWERS
SEARCH TIME: 00.00.02

L3 361 SEA SSS FUL L1

=> save l3
ENTER NAME OR (END):ten509077/a

ANSWER SET L3 HAS BEEN SAVED AS 'TEN509077/A'

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	173.52	173.73

FILE 'CAPLUS' ENTERED AT 14:09:08 ON 15 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 15 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 14 May 2006 (20060514/ED)

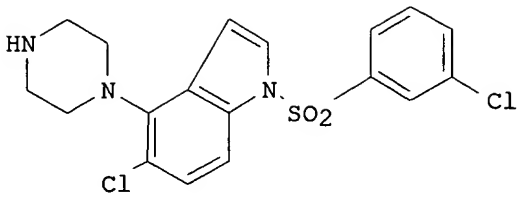
Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l3
L4 28 L3

=> d l4 1-28 bib abs fhitstr

L4 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1024945 CAPLUS
DN 143:398885
TI Bicyclic heteroarylpiperazines as selective brain penetrant 5-HT6 receptor antagonists
AU Ahmed, Mahmood; Briggs, Michael A.; Bromidge, Steven M.; Buck, Tania; Campbell, Lorraine; Deeks, Nigel J.; Garner, Ashley; Gordon, Laurie; Hamprecht, Dieter W.; Holland, Vicky; Johnson, Christopher N.; Medhurst, Andrew D.; Mitchell, Darren J.; Moss, Stephen F.; Powles, Jenifer; Seal, Jon T.; Stean, Tania O.; Stemp, Geoffrey; Thompson, Mervyn; Trail, Brenda; Upton, Neil; Winborn, Kim; Witty, David R.
CS Neurology and GI Centre of Excellence for Drug Discovery, GlaxoSmithKline, Essex, CM19 5AW, UK
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(21), 4867-4871
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
GI



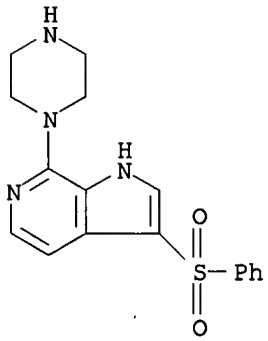
I

AB Starting from the potent and selective but poorly brain penetrant 5-HT6 receptor antagonist SB-271046, a successful strategy for improving brain penetration was adopted involving conformational constraint with concomitant redn. in hydrogen bond count. This provided a series of bicyclic heteroarylpiperazines with high 5-HT6 receptor affinity. 5-Chloroindole I combined high 5-HT6 receptor affinity with excellent brain penetration and also had good oral bioavailability in both rat and dog.

IT **688000-30-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bicyclic heteroarylpiperazines as selective brain penetrant 5-HT6 receptor antagonists)

RN 688000-30-8 CAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine, 3-(phenylsulfonyl)-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:696914 CAPLUS

DN 143:194022

TI Preparation of diazabicycloheptane derivatives as protein kinase C inhibitors

IN Cao, Guo-Qiang; Chen, Jian J.; Dominguez, Celia; Reed, Anthony; Sham, Kelvin K. C.; Thaman, Maya C.; Zhang, Dawei; Herberich, Bradley J.

PA Amgen Inc., USA
SO PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005070934	A1	20050804	WO 2005-US993	20050112
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005182072	A1	20050818	US 2005-34042	20050111
PRAI	US 2004-536617P	P	20040114		
	US 2005-34042	A1	20050111		

OS MARPAT 143:194022
GI

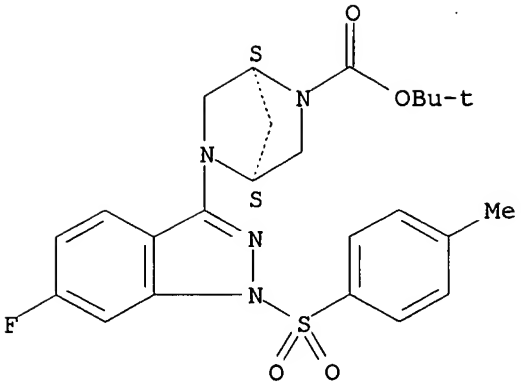
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = (CH2)n; n = 1-2; J = NH, O, S, etc.; m independently = 0-3; R1 = (un)substituted pyridyl, pyrimidyl, quinolinyl, etc.; R2 = (un)satd., (un)substituted mono- or bicyclic heterocycle contg. 1-4 atoms selected from N, O and S, so long as the combination of O and S is not greater than 2; R3 independently = H, halo, cyano, etc.; R4 independently = alkyl, haloalkyl, nitro, etc.; R5 = H or (un)substituted alkyl] and their pharmaceutically acceptable salts, are prepd. and disclosed as inhibitors of protein kinase C. Thus, e.g., II was prepd. by coupling of 5-[7-(2-chloro-pyridin-4-yl)-imidazo[1,2-c]pyrimidin-5-yl]-2,5-diaza-bicyclo[2.2.1]heptane-2-carboxylic acid tert-Bu ester (prepn. given) with (S)-.alpha.-methylbenzylamine and subsequent deprotection. The activity of I was evaluated in a anti-CD3/anti-CD28-induced T cell IL-2 secretion and proliferation assay and it was revealed that selected compds. of the invention displayed an activity of better than 500 .mu.M in whole human blood. I as inhibitor of protein kinase C should prove useful in the treatment of arthritis, multiple sclerosis, and psoriasis. Pharmaceutical compns. comprising I are disclosed.

IT **861418-54-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of diazabycycloheptane derivs. as protein kinase inhibitors)

RN 861418-54-4 CAPLUS
CN 2,5-Diazabicyclo[2.2.1]heptane-2-carboxylic acid, 5-[6-fluoro-1-[(4-methylphenyl)sulfonyl]-1H-indazol-3-yl]-, 1,1-dimethylethyl ester, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

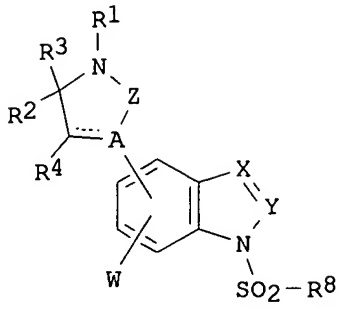


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:802568 CAPLUS
DN 141:296050
TI Preparation of 1-alkylsulfonylheterocyclylbenzazoles and related compounds as 5-hydroxytryptamine-6 ligands
IN Kelly, Michael Gerard; Cole, Derek Cecil
PA Wyeth, John, and Brother Ltd., USA
SO U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S. Ser. No. 3,015, abandoned.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004192749	A1	20040930	US 2004-759595	20040116
	US 7034029	B2	20060425		
	US 2002115670	A1	20020822	US 2001-3015	20011101
	US 2004087595	A1	20040506	US 2003-727956	20031204
	US 2004132741	A1	20040708	US 2003-728330	20031204
PRAI	US 2000-245118P	P	20001102		
	US 2001-3015	B2	20011101		

OS MARPAT 141:296050
GI



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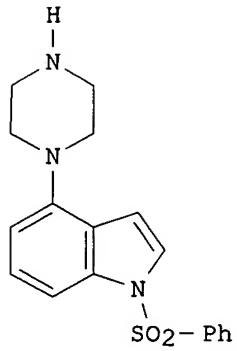

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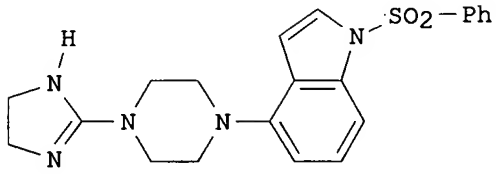


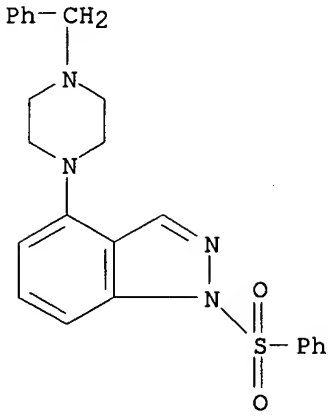
III

AB Title compds. I [A = C, CR10, N; X = CR11, N; Y = CR7, N with the proviso that when X = N, then Y = CR7; Z = (CR5R6)m; W = (R9)n ; R1 = H, alkylcarbonyl, alkylcarbonyloxy, etc.; R2, R3, R4, R5, R6 = H, halo, OH, etc.; R7, R11 = H, halo, alkyl, etc.; R8 = alkyl, (un)substituted aryl, heteroaryl; R9 = H, halo, alkyl, etc.; R10 = H, OH, (un)substituted alkoxy; m = 1-3; n = 0-3] and their pharmaceutically acceptable salts were prepd. For example, condensation of 2-methylthio-2-imidazoline hydroiodide and amine II, e.g., prepd. from 1H-indol-4-ylpiperazine in 3-steps, afforded piperazine III. In 5-HT6 binding affinity assays, 53-examples of compds. I exhibited Ki values ranging from 0.3-306 nM, e.g., the Ki of piperazine III was 24 nM. Of note, compds. I demonstrated up to a 50-fold selectivity for the 5-HT6 receptor when compared to their affinity at the 5-HT7 receptor (sic). Compds. I are claimed useful for the treatment of disorders related to or affected by the 5-HT6 receptor, e.g., motor, anxiety and cognitive disorders.

IT **423174-76-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of alkylsulfonylheterocyclylbenzazoles and related compds. as 5-hydroxytryptamine-6 ligands)

RN 423174-76-9 CAPLUS

CN 1H-Indazole, 4-[4-(phenylmethyl)-1-piperazinyl]-1-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:703120 CAPLUS
DN 141:207232
TI Preparation of heterocyclyl-3-sulfonylindazoles as 5-hydroxytryptamine-6 ligands
IN Bernotas, Ronald Charles; Yan, Yinfa; Robichaud, Albert Jean; Liu, Guangcheng
PA Wyeth, John, and Brother Ltd., USA
SO U.S. Pat. Appl. Publ., 31 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004167122	A1	20040826	US 2004-778427	20040213
	AU 2004213374	A1	20040902	AU 2004-213374	20040210
	CA 2515570	AA	20040902	CA 2004-2515570	20040210
	WO 2004074243	A2	20040902	WO 2004-US3926	20040210
	WO 2004074243	A3	20041202		
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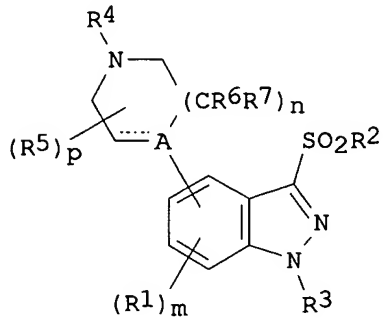
	EP 1592683	A2	20051109	EP 2004-709911	20040210
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2004007253	A	20060131	BR 2004-7253	20040210
	NO 2005003792	A	20050810	NO 2005-3792	20050810

PRAI US 2003-447613P P 20030214
WO 2004-US3926 A 20040210
OS MARPAT 141:207232
GI



I

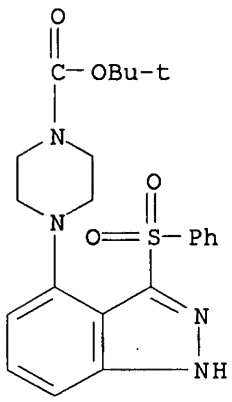
AB The title compds. (I) [A = C, CR8, N; R1 = H, halogen, cyano, COR9, OCO2R10, CO2R11, CONR12R13, SOxR14, NR15R16, OR17, each (un)substituted C1-6 alkyl, C3-7 cycloalkyl, aryl, or heteroaryl; R2 = (un)substituted C1-6 alkyl, C3-7 cycloalkyl, aryl, heteroaryl group, (un)substituted 8- to 13-membered bicyclic or tricyclic ring having a N atom at the bridgehead and optionally contg. 1, 2 or 3 addnl. heteroatoms selected from N, O or S; R3 = H, each (un)substituted C1-6 alkyl, C3-7 cycloalkyl, aryl, or heteroaryl; R4 = H, each (un)substituted C1-6 alkyl or C3-7 cycloalkyl; R5-R7 = H, each (un)substituted C1-6 alkyl or C3-7 cycloalkyl; m, p = an integer of 1-3; n = 1,2; R8 = H, OH, (un)substituted C1-6 alkoxy; R9, R10, R11, R17 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-6 cycloalkyl, cycloheteroalkyl, aryl, or heteroaryl; R12, R13, R15, R16 = H or (un)substituted C1-4 alkyl or NR12R13 or NR15R16 together forms a 5- to 7-membered ring optionally contg. another heteroatom selected from O, (un)substituted NH or SOx; R14 = each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-6 cycloalkyl, cycloheteroalkyl, aryl, or heteroaryl; x = 0, 1, 2; the solid line with a dotted line represents a single bond or a double bond] or stereoisomers thereof or pharmaceutically acceptable salts thereof are prepd. These compds. are modulators 5-HT6 receptor and useful in the therapeutic treatment of disorders related to or affected by the 5-HT6 receptor including motor disorder, anxiety disorder, cognitive disorder, neurodegenerative disorder, attention deficit disorder, obsessive compulsive disorder, withdrawal from drug, alc. or nicotine addiction, schizophrenia, depression, and Alzheimer's disease, stroke, head trauma, and neuropathic pain. For example, 5-(4-benzylpiperazin-1-yl)-1-(4-fluorophenyl)-3-phenylsulfonyl-1H-indazole hydrochloride at 1 .mu.M inhibited by 74% the binding of [3H]-LSD to human cloned 5-HT6 receptor.

IT **744219-33-8P**, 4-[4-(tert-Butoxycarbonyl)piperazin-1-yl]-3-(phenylsulfonyl)-1H-indazole
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of heterocyclyl-3-sulfonylindazoles as 5-HT6 receptor modulators for treatment of disorders related to or affected by 5-HT6 receptor)

RN 744219-33-8 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-(phenylsulfonyl)-1H-indazol-4-yl]-,

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:701785 CAPLUS
DN 141:200209
TI Heterocyclyl-3-sulfonylazaindole or-azaindazole derivatives as 5-HT6 receptor ligands, and their use for the treatment of central nervous system disorders
IN Bernotas, Ronald Charles; Yan, Yinfa
PA Wyeth, John, and Brother Ltd., USA
SO U.S. Pat. Appl. Publ., 18 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004167030	A1	20040826	US 2004-778441	20040213
	AU 2004213375	A1	20040902	AU 2004-213375	20040210
	CA 2515571	AA	20040902	CA 2004-2515571	20040210
	WO 2004074286	A1	20040902	WO 2004-US3930	20040210
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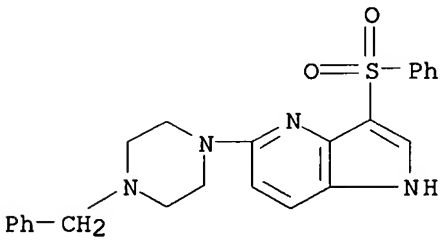
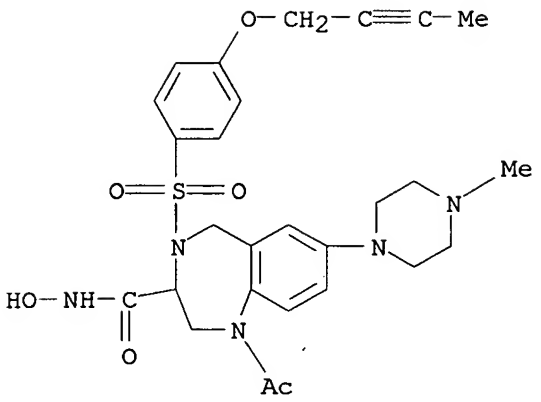
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1592690	A1	20051109	EP 2004-709917	20040210
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2004007493	A	20060214	BR 2004-7493	20040210
PRAI	US 2003-447515P	P	20030214		
	WO 2004-US3930	A	20040210		

OS MARPAT 141:200209
AB The invention provides the title compds. and their use for the treatment of a central nervous system disorder related to or affected by the 5-HT6 receptor. Prepn. of e.g. 5-(4-methylpiperazin-1-yl)-3-(phenylsulfonyl)-1H-pyrazolo[4,3-b]pyridine hydrochloride is described.

IT **744198-07-0P**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(heterocyclyl-3-sulfonylazaindole or-azaindazole derivs. as 5-HT6 receptor ligands, and use for treatment of central nervous system disorders)
RN 744198-07-0 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine, 5-[4-(phenylmethyl)-1-piperazinyl]-3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:581020 CAPLUS
DN 141:253647
TI Benzodiazepine inhibitors of the MMPs and TACE. Part 2
AU Levin, Jeremy I.; Nelson, Frances C.; Delos Santos, Efren; Du, Mila T.; MacEwan, Gloria; Chen, James M.; Ayral-Kaloustian, Semiramis; Xu, Jun; Jin, Guixian; Cummons, Terri; Barone, Dauphine
CS Wyeth Research, Pearl River, NY, 10965, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(16), 4147-4151
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 141:253647
AB The authors have developed efficient synthetic routes to a variety of functionalized racemic benzodiazepine-sulfonamide hydroxamic acids. Many of these analogs have been shown to be potent inhibitors of TACE (TNF-.alpha. converting enzyme) and MMP-13 (matrix metalloproteinase 13) and some demonstrate selectivity over MMP-1. The incorporation of polar functionality into the benzodiazepine scaffold at any of three different positions was also found to provide greatly increased aq. soly. for all of the compds. that were assessed. Furthermore, three members of this series were shown to be effective at inhibiting LPS-stimulated TNF prodn. on oral dosing in mice at 50 mg/kg.
IT **755889-88-4P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzodiazepine inhibitors of matrix metalloproteinases and TNF.alpha. converting enzyme in relation to structure and pharmacokinetics)
RN 755889-88-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-carboxamide, 1-acetyl-4-[[4-(2-butynyloxy)phenyl]sulfonyl]-2,3,4,5-tetrahydro-N-hydroxy-7-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

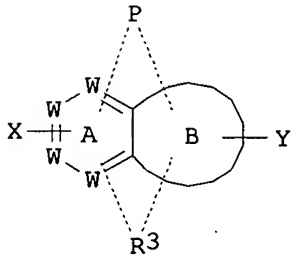
L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:2873 CAPLUS
DN 140:42036
TI Preparation of pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders
IN Johansson, Gary; Jenmalm-Jensen, Annika; Beierlein, Katarina
PA Biovitrum AB, Swed.
SO PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004000828	A1	20031231	WO 2003-SE1061	20030619
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2486989	AA	20031231	CA 2003-2486989	20030619
	AU 2003243091	A1	20040106	AU 2003-243091	20030619
	US 2004024210	A1	20040205	US 2003-465034	20030619
	EP 1513828	A1	20050316	EP 2003-760999	20030619
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003011952	A	20050419	BR 2003-11952	20030619
	JP 2005536551	T2	20051202	JP 2004-530936	20030619
	NO 2005000294	A	20050204	NO 2005-294	20050119
PRAI	SE 2002-1925	A	20020620		
	SE 2002-2181	A	20020711		
	US 2002-406120P	P	20020826		

	SE 2002-2908	A	20021001
	US 2002-434010P	P	20021217
	SE 2003-357	A	20030210
	US 2003-464701P	P	20030423
	WO 2003-SE1061	W	20030619

OS MARPAT 140:42036

GI



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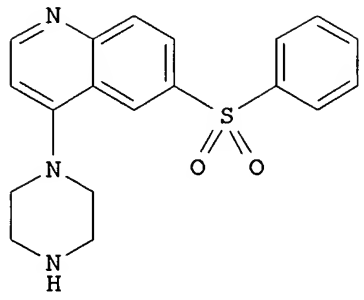


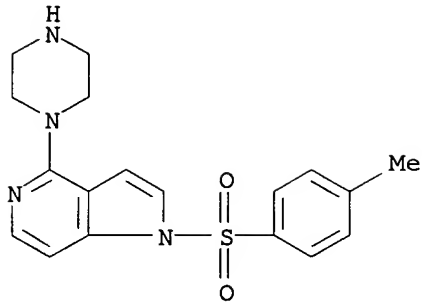
II

AB Title compds. I [ring B = same as ring A, 5-membered (un)substituted heterocycle/heteroaryl; W = N, CH, C provided that not more than 3 W groups are N in both rings A, B together; P = aminosulfonyl, sulfonamido, etc.; X, Y = H, halo, alkyl, CF3, etc.; R3 = piperazinyl, etc.] are prepd. For instance, 6-benzenesulfonyl-4-chloroquinoline is reacted with piperazine (CH3CN, 80.degree., overnight) to give II isolated as the HCl salt. II has Ki = 10 nM for the human 5-HT6 receptor. I are useful for the treatment of conditions relating to obesity, type II diabetes and CNS disorders.

IT **637000-03-4P**, 4-Piperazin-1-yl-1-(toluene-4-sulfonyl)-1H-pyrrolo[3,2-c]pyridine hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of naphthelene and pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders)

RN 637000-03-4 CAPLUS

CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(4-methylphenyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



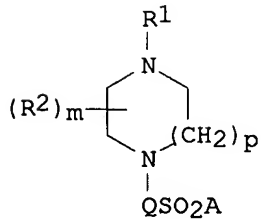
● HCl

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:777791 CAPLUS
DN 139:292272
TI Preparation of arylsulfonylquinolinyl- of azaindolylpiperazines as 5-HT6 antagonists
IN Johnson, Christopher Norbert; MacDonald, Gregor James; Mitchell, Darren Jason; Moss, Stephen Frederick; Thompson, Mervyn; Witty, David
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003080608	A2	20031002	WO 2003-EP3195	20030325
	WO 2003080608	A3	20040205		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003226724	A1	20031008	AU 2003-226724	20030325
	EP 1497291	A2	20050119	EP 2003-744860	20030325
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005124626	A1	20050609	US 2003-509077	20030325
	JP 2005527542	T2	20050915	JP 2003-578362	20030325
PRAI	GB 2002-7275	A	20020327		
	GB 2002-7278	A	20020327		
	GB 2002-7281	A	20020327		
	GB 2002-7282	A	20020327		

WO 2003-EP3195 W 20030325
OS MARPAT 139:292272
GI



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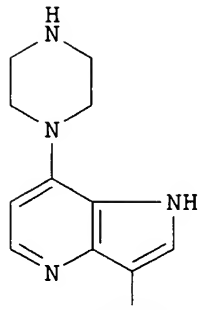
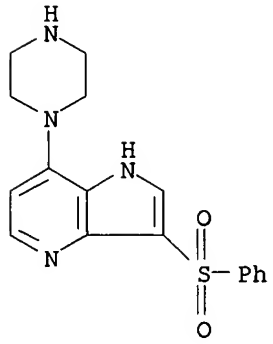


II

AB Title compds. I [R1, R2 = H, alkyl; R1R2, R22 = (CH2)1-4; Q = (un)substituted quinolinyl, pyrrolopyridinyl; A = (un)substituted aryl; m = 1-4; p = 1, 2] were prepd. for use as 5-HT6 antagonists in the treatment of CNS and other disorders. Thus, 3-chloro-4-nitropyridine was treated with 1-tert.-butoxycarbonylpiperazine, cyclized with CH2:CHMgBr to 7-tert.-butoxycarbonylpiperazin-1-yl-1H-pyrrolo[3,2-b]pyridine, which was treated with Ph2S2, oxidized to the sulfone. and deblocked to give the title compd. II.

IT **608142-77-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylsulfonylquinolinyl- of azaindolylpiperazines as 5-HT6 antagonists)

RN 608142-77-4 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine, 3-(phenylsulfonyl)-7-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:633708 CAPLUS
DN 139:164812
TI Preparation of heterocyclic sulfonamide compounds with 5-HT6 receptor affinity
IN Ahmed, Mahmood; Bromidge, Steve
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003066632	A1	20030814	WO 2003-EP1117	20030204
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003244480	A1	20030902	AU 2003-244480	20030204
	EP 1472253	A1	20041103	EP 2003-737311	20030204
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005090496	A1	20050428	US 2003-503682	20030204
	JP 2005525332	T2	20050825	JP 2003-566005	20030204
PRAI	GB 2002-2679	A	20020205		
	WO 2003-EP1117	W	20030204		

OS MARPAT 139:164812
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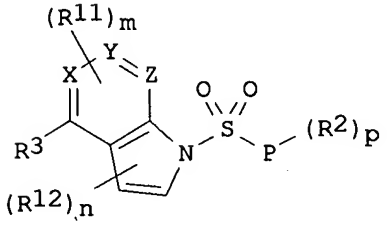


I

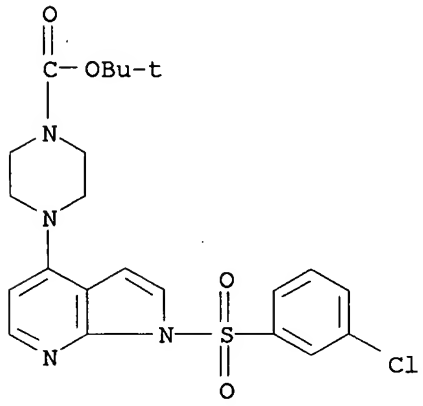
AB Heterocyclic sulfonyl compds. [I; P = (hetero)aryl; R11, R12 = halogen, C1-6 alkyl, C1-6 (hydroxy)alkoxy, C1-6 alkanoyl, CN, CF3, OCF3, phenyloxy, benzyloxy, C3-6 cycloalkyloxy; R2 = halogen, C1-6 (hydroxy)alkyl, C3-6 cycloalkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 alkylsulfinyl, C1-6alkylsulfonoyl, C1-16 alkanoyl, CN, CF3, OCH2CF3, OCF3, C1-6 alkoxycarbonyl, alkoxyalkoxy, nitro, (un)substituted amino, etc.; R3 = 5-7-membered heterocyclic ring or a bicyclic heterocyclic ring contg. 1-3 heteroatoms selected from nitrogen, sulfur or oxygen with the ring being

optionally C- and/or N-substituted by one or more C1-6-alkyl; X, Y, Z = N, CH, provided that one or two of X, Y, and Z represent N; m, n = 0-4; p = 0-5; e.g., 4-[1-(3-chlorobenzenesulfonyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]piperazine hydrochloride] which have 5-HT6 receptor affinity (e.g., pKi >8 at human cloned 5-HT6 receptors), useful in the treatment of CNS (e.g., Alzheimer's disease) and other disorders (no data), are prepd.

IT **577768-57-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in the prepn. of heterocyclic sulfonamide compds. with 5-HT6 receptor affinity)

RN 577768-57-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[1-[(3-chlorophenyl)sulfonyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

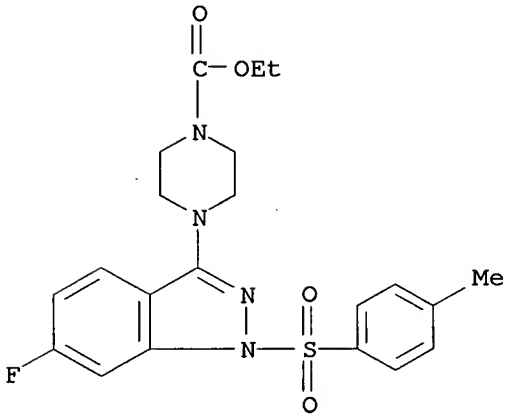
L4 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:347066 CAPLUS
DN 139:86964
TI Process Improvements for the Preparation of Kilo Quantities of a Series of Isoindoline Compounds
AU Watson, Timothy J.; Ayers, Timothy A.; Shah, Nik; Wenstrup, David; Webster, Mark; Freund, David; Horgan, Stephen; Carey, James P.
CS Aventis, Bridgewater, NJ, 08807, USA
SO Organic Process Research & Development (2003), 7(4), 521-532
CODEN: OPRDFK; ISSN: 1083-6160
PB American Chemical Society
DT Journal
LA English
OS CASREACT 139:86964
AB A series of isoindoline analogs with either an indazole (HMR 2934, HMR 2651) or benzisoxazole (HMR 2543) appendage were prepd. toward evaluation for proposed treatment of psychiatric disorders such as obsessive compulsive disorder and attention deficit disorder. The isoindoline compds. were prepd. by redn. of the corresponding phthalimides with LiAlH4.2THF. One compd. was not chiral, and the other two required enantioselective synthesis. The key step for these optically active analogs involved the coupling by an SN2 process of either a piperazynyl

intermediate or a piperdinyl intermediate with Me-3-benzyloxy-2-trifluoromethansulfonatopropionate. The products for these two analogs had >98% ee. Process improvements that led to the multi-kilogram syntheses of each of these compds. include the use of LiAlH4.2THF complex in the conversion step to the desired isoindoline with min. formation of isoindole.

IT **176200-99-0P**, 6-Fluoro-3-[1-(4-ethoxycarbonyl)piperazinyl]-1-(4-methylphenyl)sulfonyl-1H-indazole
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; process improvements including redn. step using LiAlH4.2THF in prepn. of Kg. amts. of indazole- and benzisoxazole-isoindolines toward use in treatment of psychiatric disorders)

RN 176200-99-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-fluoro-1-[(4-methylphenyl)sulfonyl]-1H-indazol-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:353426 CAPLUS
DN 136:369738
TI Preparation of 1-aryl- or 1-alkylsulfonyl-heterocyclylbenzazoles as 5-hydroxytryptamine-6 ligands
IN Kelly, Michael Gerard; Cole, Derek Cecil
PA American Home Products Corporation, USA
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002036562	A2	20020510	WO 2001-US45389	20011031
	WO 2002036562	A3	20030123		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2426031	AA	20020510	CA 2001-2426031		20011031
AU 2002020051	A5	20020515	AU 2002-20051		20011031
EP 1343756	A2	20030917	EP 2001-992697		20011031
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001015102	A	20030930	BR 2001-15102		20011031
JP 2004513111	T2	20040430	JP 2002-539322		20011031
NZ 525592	A	20040730	NZ 2001-525592		20011031
NO 2003001977	A	20030630	NO 2003-1977		20030430
ZA 2003004188	A	20040830	ZA 2003-4188		20030529
PRAI US 2000-245118P	P	20001102			
WO 2001-US45389	W	20011031			

OS MARPAT 136:369738

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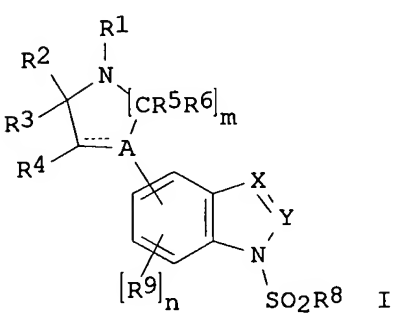

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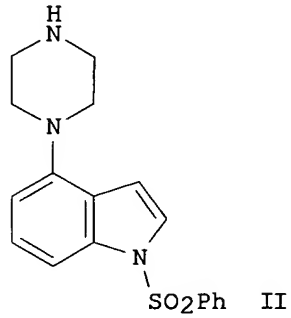


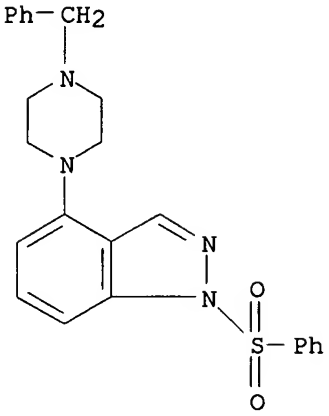
II

AB The title compds. [I; A = C, CR10, N; X = CR11, N; Y = CR7, N with the proviso that when X = N, then Y must be CR7; R1 = H, alkylcarbonyl, alkoxycarbonyl, etc.; R2-R6 = H, halo, OH, alkyl; R7, R11 = H, halo, alkyl, etc.; R8 = alkyl, aryl, heteroaryl; R9 = H, halo, alkyl, etc.; R10 = H, OH, alkoxy; m = 1-3; n = 0-3] and their salts, useful in the therapeutic treatment of disorders related to or affected by the 5-HT6 receptor, were prepd. Thus, protecting 1H-indole-4-ylpiperazine with di-tert-Bu dicarbonate followed by reacting the resulting tert-Bu 4-(1H-indol-4-yl)piperazine-1-carboxylate with benzenesulfonyl chloride (81%), and deprotection (99%) afforded II.HCl which showed Ki of 1.0 nM against 5-HT6 binding.

IT **423174-78-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(1-aryl- or 1-alkylsulfonyl-heterocyclylbenzazoles as 5-hydroxytryptamine-6 ligands)

RN 423174-78-1 CAPLUS

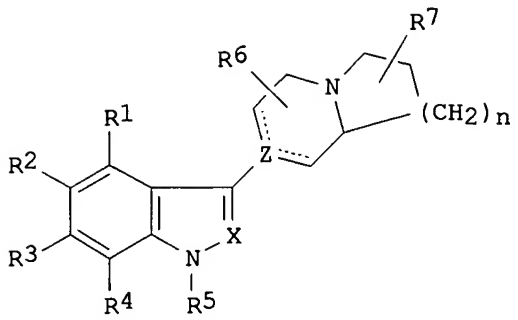
CN 1H-Indazole, 4-[4-(phenylmethyl)-1-piperazinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:468208 CAPLUS
DN 135:61353
TI Preparation of bicyclic piperidine and piperazine compounds having 5-HT6 receptor affinity
IN Maddaford, Shawn; Xin, Tao; Slassi, Abdelmalik; Tehim, Ashok; Qiao, Qi
PA Nps Allelix Corp., Can.
SO U.S., 29 pp., Cont.-in-part of U.S. Ser. No. 97,008.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6251893	B1	20010626	US 1998-156495	19980918
	CA 2335285	AA	19991223	CA 1999-2335285	19990610
	WO 9965906	A1	19991223	WO 1999-CA543	19990610
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9942531	A1	20000105	AU 1999-42531	19990610
	AU 765256	B2	20030911		
	EP 1105393	A1	20010613	EP 1999-957059	19990610
	EP 1105393	B1	20031001		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2003523922	T2	20030812	JP 2000-554731	19990610
	AT 251163	E	20031015	AT 1999-957059	19990610
	ES 2209525	T3	20040616	ES 1999-957059	19990610
PRAI	US 1998-97008	A2	19980615		
	US 1998-156495	A	19980918		
	WO 1999-CA543	W	19990610		

OS MARPAT 135:61353
GI



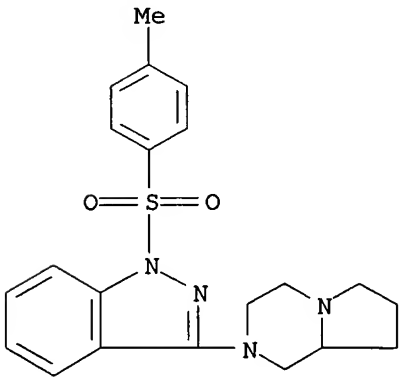
I

AB Title compds. I [R1-R4 = H, halo, OH,alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylthio, alkanoyl, alkanoyloxy, NO2, CN, (un)substituted Ph, furyl, thienyl, OPh, NH2, CONH2, SO2NH2, CH2SO2NH2, CO2H, NHCHO, NHCH:NH, C(:NH)NH2, acyl, acyloxy, SCF3, SO2CF3, CHO, CF3, OCF3; R5 = SO2Ar, COAr, Ar, CH2Ar; R6 = H, alkyl, (un)substituted Ph, CH2Ph; R7 = H, alkyl, alkoxy, alkylthio, (un)substituted Ph, CH2Ph, OPh, OCH2Ph; n = 1-3; X = CR8, N; R8 = H, alkyl, CH2Ph; Z = C, CH, N; Ar = (un)substituted Ph, pyridyl, thienyl, furanyl, naphthyl, quinolyl, isoquinolyl] were prepd. as 5-HT6 receptor inhibitors for treatment of diseases such as schizophrenia. Thus, 1-acetyl-3-indolinone was treated with 1,4-diazabicyclo[4.3.0]nonane and deacetylated to give 3-(1,4-diazabicyclo[4.3.0]non-4-yl)-1H-indole which was converted to the 1-(2-naphthalenesulfonyl) deriv. with 2-naphthalenesulfonyl chloride. At 100 nM this product gave >80% inhibition of the 5-HT6 receptor and <20% inhibition of the 5-HT2A, 5-HT2C, and 5-HT7 receptors.

IT **252892-07-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic piperidine and piperazine compds. as 5-HT6 receptor antagonists)

RN 252892-07-2 CAPLUS

CN 1H-Indazole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:338517 CAPLUS
DN 134:353316
TI Preparation of N-(piperazinylquinolyl)aranesulfonamides and analogs as 5-HT6 receptor antagonists
IN Bromidge, Steven Mark; Serafinowska, Halina Teresa
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001032646	A2	20010510	WO 2000-EP10911	20001102
	WO 2001032646	A3	20011227		
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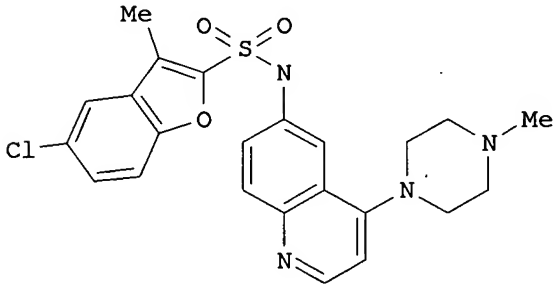
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1228066	A2	20020807	EP 2000-974509	20001102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003513085	T2	20030408	JP 2001-534797	20001102
PRAI	GB 1999-26302	A	19991105		
	WO 2000-EP10911	W	20001102		

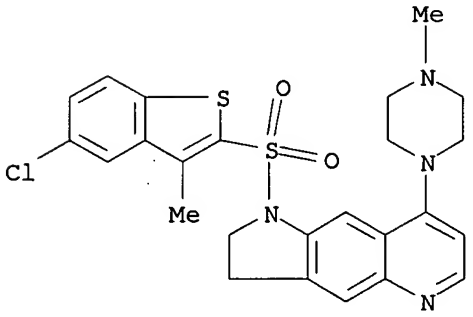
OS MARPAT 134:353316
GI



II

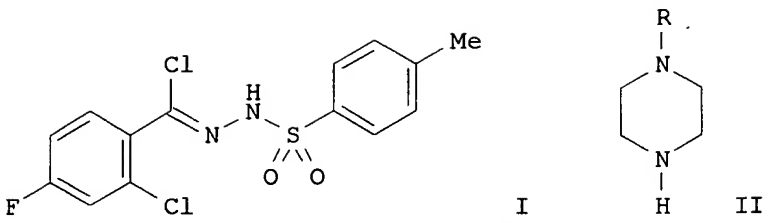
AB R1Z1SO2NR2ZR4 [I; R1 = (un)substituted (hetero)aryl; R2 = H or alkyl; R4 = Z2R5; R5 = heterocyclyl; Z = e.g., (un)substituted quinoline-6,n-diyl; Z1 = bons or alk(en)ylene; Z2 = bond, CH2, O, (alkyl)imino; n = 2-4] were prepd. Thus, 4-(4-methylpiperazin-1-yl)quinoline-6-amine was amidated by 5-chloro-3-methylbenzofuran-2-sulfonyl chloride (prepn. each given) to give title compd. II. Data for biol. activity of I were given.

IT **338796-80-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(piperazinylquinolyl)aranesulfonamides and analogs as 5-HT6 receptor antagonists)
RN 338796-80-8 CAPLUS
CN 1H-Pyrrolo[2,3-g]quinoline, 1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-2,3-dihydro-8-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



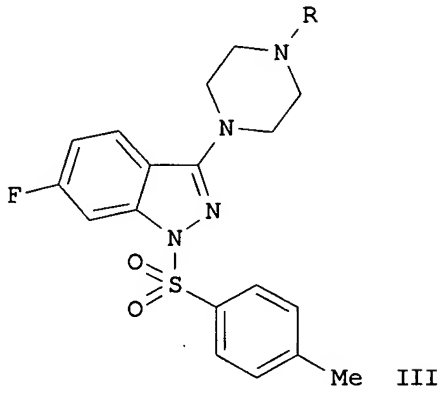
●x HCl

L4 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:61965 CAPLUS
DN 134:266238
TI Facile preparation of 3-(1-piperazinyl)-1H-indazoles
AU Leroy, Vincent; Lee, George E.; Lin, Jiang; Herman, Sandra H.; Lee, Thomas B.
CS Aventis Pharmaceuticals Inc., Bridgewater, NJ, 08807, USA
SO Organic Process Research & Development (2001), 5(2), 179-183
CODEN: OPRDFK; ISSN: 1083-6160
PB American Chemical Society
DT Journal
LA English
OS CASREACT 134:266238
GI



I

II



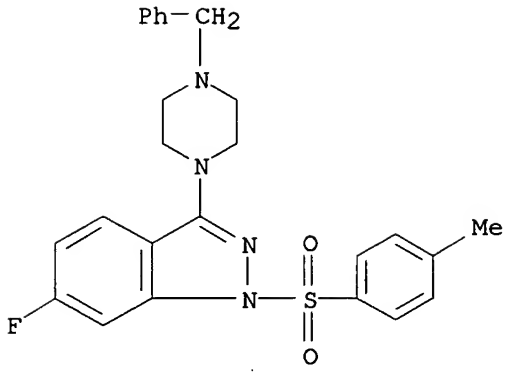
III

AB Pre-clin. evaluation of a potential antipsychotic agent required a convenient synthesis of 3-(1-piperazinyl)-1H-indazole derivs. Improvements of the original prepn. provided a five-step sequence to an unsubstituted piperazine intermediate, with a 67% overall yield. Thus, reacting 2-chloro-4-fluorobenzoic acid with SOCl2 followed by tosylhydrazine and SOCl2 gave the hydrazone I. I then reacted with piperazines II (R = CH2CN, Me, CH2Ph, CO2Et) in one pot to give the title compds. III in 71 to 84% yield. All intermediates were isolated by filtration.

IT **332011-99-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of piperazinyl(tosyl)indazoles via cyclocondensation of fluorochlorobenzoyl chloride tosylhydrazones with piperazines)

RN 332011-99-1 CAPLUS

CN 1H-Indazole, 6-fluoro-1-[(4-methylphenyl)sulfonyl]-3-[4-(phenylmethyl)-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



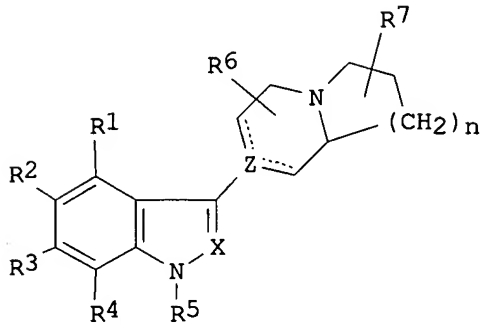
● HCl

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:811242 CAPLUS
DN 132:49982
TI Bicyclic piperidine and piperazine compounds having 5HT6 receptor affinity
IN Maddaford, Shawn; Xin, Tao; Slassi, Abdelmalik; Tehim, Ashok
PA Allelix Biopharmaceuticals Inc., Can.
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9965906	A1	19991223	WO 1999-CA543	19990610
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6251893	B1	20010626	US 1998-156495	19980918
	CA 2335285	AA	19991223	CA 1999-2335285	19990610
	AU 9942531	A1	20000105	AU 1999-42531	19990610
	AU 765256	B2	20030911		
	EP 1105393	A1	20010613	EP 1999-957059	19990610
	EP 1105393	B1	20031001		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2003523922	T2	20030812	JP 2000-554731	19990610
	AT 251163	E	20031015	AT 1999-957059	19990610
PRAI	US 1998-97008	A	19980615		
	US 1998-156495	A	19980918		

WO 1999-CA543 W 19990610
OS MARPAT 132:49982
GI

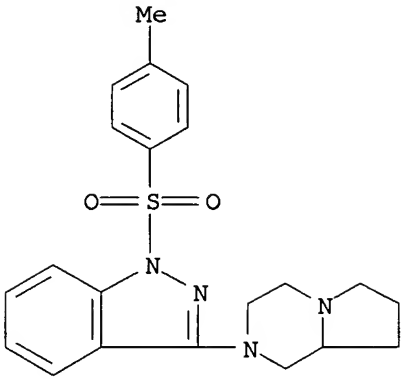


I

AB Title compds. I [R1-R4 = H, halo, OH,alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylthio, alkanoyl, alkanoyloxy, NO2, CN, (un)substituted Ph, furyl, thienyl, OPh, NH2, CONH2, SO2NH2, CH2SO2NH2, CO2H, NHCHO, NHCH:NH, C(:NH)NH2, acyl, acyloxy, SCF3, SO2CF3, CHO, CF3, OCF3; R5 = SO2Ar, COAr, Ar, CH2Ar; R6 = H, alkyl, (un)substituted Ph, CH2Ph; R7 = H, alkyl, alkoxy, alkylthio, (un)substituted Ph, CH2Ph, OPh, OCH2Ph;n = 1-3; X = CR8, N; R8 = H, alkyl, CH2Ph; Z = C, CH, N; Ar = (un)substituted Ph, pyridyl, thienyl, furanyl, naphthyl, quinolyl, isoquinolyl] were prepd. for use as inhibitors of the 5-HT6 receptor. Thus, 1-acetyl-3-indolinone was treated with 1,4-diazabicyclo[4.3.0]nonane and deacetylated to give 3-(1,4-diazabicyclo[4.3.0]non-4-yl)-1H-indole which was converted to the 1-(2-naphthalenesulfonyl) deriv. with 2-naphthalenesulfonyl chloride. At 100 nM this product gave >80% inhibition of the 5-HT6 receptor and <20% inhibition of the 5-HT2A, 5-HT2C, and 5-HT7 receptors.

IT **252892-07-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic piperidine and piperazine compds. as 5HT6 receptor antagonists)

RN 252892-07-2 CAPLUS
CN 1H-Indazole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:487828 CAPLUS
DN 129:122674
TI 3-(Heteroaryl)-1-[(2,3-dihydro-1H-isoindol-2-yl)alkyl]pyrrolidines and 3-(heteroaryl)-1-[(2,3-dihydro-1H-indol-1-yl)alkyl]pyrrolidines and related compounds and their use as analgesics and antipsychotics
IN Strupczewski, Joseph T.; Helsley, Grover C.; Glamkowski, Edward J.; Chiang, Yulin; Bordeau, Kenneth J.; Nemoto, Peter A.; Tegeler, John J.
PA Hoechst Marion Roussel, Inc., USA
SO U.S., 78 pp., Cont.-in-part of U.S. Ser. No. 144,265, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5776963	A	19980707	US 1994-329000	19941025
	ZA 9003830	A	19910227	ZA 1990-3830	19900518
	US 5364866	A	19941115	US 1992-969383	19921030
	IL 103622	A1	20001206	IL 1992-103622	19921103
	CA 2175212	AA	19950504	CA 1994-2175212	19941027
	WO 9511680	A1	19950504	WO 1994-US12054	19941027
	W: AU, CA, CN, CZ, JP, KR, NO, NZ, PL, RO, RU				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9481228	A1	19950522	AU 1994-81228	19941027
	EP 730452	A1	19960911	EP 1995-900390	19941027
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1136275	A	19961120	CN 1994-194302	19941027
	JP 09511215	T2	19971111	JP 1994-512724	19941027
	PL 181059	B1	20010531	PL 1994-314135	19941027
	RU 2216545	C2	20031120	RU 1996-110214	19941027
	CZ 295927	B6	20051214	CZ 1996-1238	19941027
	RO 120341	B1	20051230	RO 1996-888	19941027
	ZA 9408501	A	19960528	ZA 1994-8501	19941028
	ZA 9500423	A	19960528	ZA 1995-423	19941028
	ZA 9502653	A	19960528	ZA 1995-2653	19941028
	TW 460468	B	20011021	TW 1994-83110396	19941110
	US 5550130	A	19960827	US 1995-465697	19950606
	US 5552414	A	19960903	US 1995-466246	19950606

US 5554614	A	19960910	US 1995-467173	19950606
US 5556858	A	19960917	US 1995-467387	19950606
US 5559117	A	19960924	US 1995-466726	19950606
US 5559116	A	19960924	US 1995-469521	19950606
US 5559126	A	19960924	US 1995-471237	19950606
US 5561128	A	19961001	US 1995-469883	19950606
US 5569653	A	19961029	US 1995-471775	19950606
US 5571828	A	19961105	US 1995-469361	19950606
US 5571814	A	19961105	US 1995-471574	19950606
US 5574032	A	19961112	US 1995-466765	19950606
US 5578624	A	19961126	US 1995-468076	19950606
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US 5583145	A	19961210	US 1995-466895	19950606
US 5589488	A	19961231	US 1995-468074	19950606
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US 5599821	A	19970204	US 1995-469357	19950606
US 5607945	A	19970304	US 1995-466821	19950606
US 5612342	A	19970318	US 1995-466252	19950606
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US 5614543	A	19970325	US 1995-469000	19950606
US 5614543	B1	19981215		
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US 5629326	A	19970513	US 1995-465707	19950606
US 5639764	A	19970617	US 1995-470836	19950606
US 5646161	A	19970708	US 1995-471755	19950606
US 5648363	A	19970715	US 1995-466767	19950606
US 5652241	A	19970729	US 1995-468344	19950606
US 5654319	A	19970805	US 1995-470704	19950606
US 5663449	A	19970902	US 1995-470059	19950606
US 5811435	A	19980922	US 1995-468991	19950606
US 5811430	A	19980922	US 1995-471754	19950606
US 5840727	A	19981124	US 1995-468960	19950606
US 5843977	A	19981201	US 1995-467795	19950606
US 5843949	A	19981201	US 1995-467951	19950606
US 5854263	A	19981229	US 1995-469501	19950606
US 5854243	A	19981229	US 1995-470715	19950606
US 5874435	A	19990223	US 1995-470039	19950606
US 5889035	A	19990330	US 1995-467133	19950606
US 5889004	A	19990330	US 1995-471393	19950606
US 5919798	A	19990706	US 1995-468075	19950606
US 5965546	A	19991012	US 1995-471512	19950606
US 5977140	A	19991102	US 1995-465863	19950606
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	US 5571803	A	19961105	US 1995-577325	19951222
	US 5637710	A	19970610	US 1995-577151	19951222
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	CZ 288710	B6	20010815	CZ 1996-3629	19961210
	US 37029	E	20010123	US 1998-185968	19981105
	US 37478	E	20011218	US 1998-207910	19981209
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	US 37729	E	20020604	US 1999-240842	19990203
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	RU 2239434	C2	20041110	RU 1999-126501	19991220
	US 6420390	B1	20020716	US 2000-556116	20000419
	AU 770976	B2	20040311	AU 2001-79385	20011012
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	US 1990-619825	B1	19901129		
	US 1991-944705	B2	19910905		
	US 1991-788269	B2	19911105		
	US 1992-969383	A2	19921030		
	US 1993-144265	B2	19931028		
	US 1994-329000	A	19941025		
	AU 1994-81228	A3	19941027		
	WO 1994-US12054	W	19941027		
	US 1995-468611	A3	19950606		
	US 1995-469357	A5	19950606		
	US 1995-471574	A5	19950606		
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	CZ 1985-282300	A3	19970716		
	AU 1998-97207	A3	19981218		

OS MARPAT 129:122674

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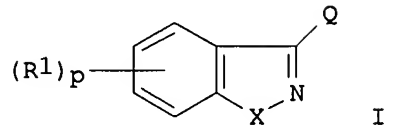

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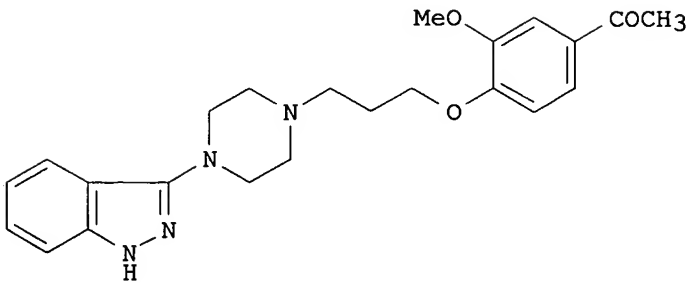


II

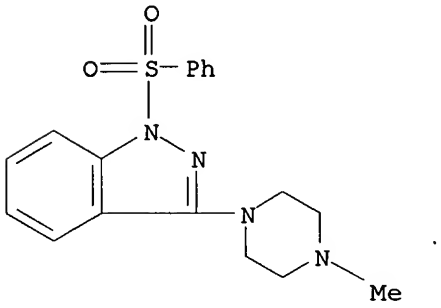
AB Heteroaryl-substituted piperidines, pyrrolidines, and piperazines, specifically I [Q = N-substituted 3-pyrrolidinyl, 4-piperidinyl, or

1-piperazinyl; X = O, S, NH, NR2; R1 = H, alkyl, OH, Cl, F, Br, iodo, alkoxy, CF3, NO2, amino; R2 = alkyl, aralkyl, aryl, cycloalkyl, aroyl, alkanoyl, alkoxycarbonyl, phenylsulfonyl; p = 1 or 2], are useful as antipsychotic and analgesic agents. The compds. are esp. useful for treating psychosis, and depot derivs. in particular are useful for providing long-acting effects. For instance, , coupling of 3-(1-piperazinyl)-1H-indazole with 1-[4-(3-chloropropoxy)-3-methoxyphenyl]ethanone in DMF contg. K2CO3 and KI at 90.degree. gave title compd. II. In the apomorphine-induced climbing assay in mice, selected I were typically over 8-fold more potent than clozapine. Similarly, 3 compds. I were more potent than propoxyphene and pentazocine in the phenylquinone-induced writhing test in mice.

IT **131634-44-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heteroarylpiperidines, -pyrrolidines, and -piperazines as antipsychotics and analgesics)

RN 131634-44-1 CAPLUS

CN 1H-Indazole, 3-(4-methyl-1-piperazinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:121341 CAPLUS
DN 126:131452
TI Preparation of benzisoxazole and indazole derivatives as antipsychotics.
IN Palermo, Mark G.; Martin, Lawrence L.; Nemoto, Peter A.
PA Hoechst Marion Roussel, Inc., USA
SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9639397	A1	19961212	WO 1996-US6851	19960514
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
CA 2218663	AA	19961212	CA 1996-2218663	19960514

	CA 2218663	C	20010731		
	AU 9657464	A1	19961224	AU 1996-57464	19960514
	AU 697953	B2	19981022		
	EP 833820	A1	19980408	EP 1996-915782	19960514
	EP 833820	B1	20010214		
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	CN 1187192	A	19980708	CN 1996-194469	19960514
	CN 1187194	A	19980708	CN 1996-194504	19960514
	JP 3057763	B2	20000704	JP 1997-500561	19960514
	JP 11507030	T2	19990622		
	AT 199147	E	20010215	AT 1996-915782	19960514
	PT 833820	T	20010731	PT 1996-915782	19960514
	ES 2157442	T3	20010816	ES 1996-915782	19960514
	ZA 9604562	A	19961212	ZA 1996-4562	19960603
	US 5696113	A	19971209	US 1996-672127	19960627
	US 5852022	A	19981222	US 1997-921480	19970902
	NO 9705681	A	19980205	NO 1997-5681	19971205
	US 5965554	A	19991012	US 1998-150971	19980911
	US 6008348	A	19991228	US 1999-288388	19990408
	GR 3035663	T3	20010629	GR 2001-400513	20010329
PRAI	US 1995-470400	A	19950606		
	WO 1996-US6851	W	19960514		
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	US 1998-150971	A3	19980911		

OS MARPAT 126:131452

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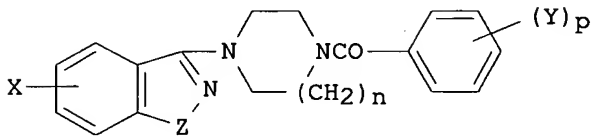


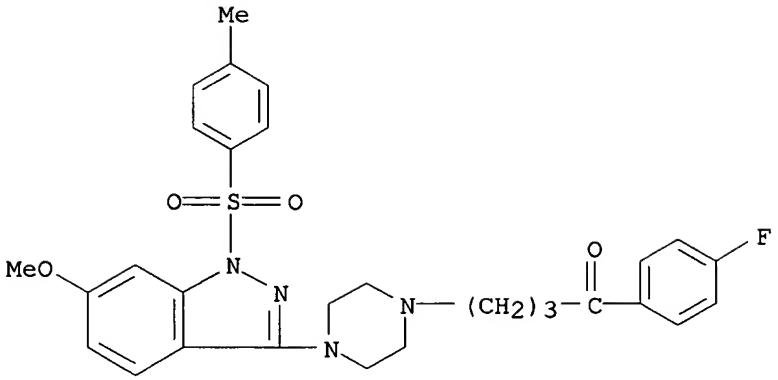
I

AB Title compds. (I; X = OH, alkylcarbonyloxy, arylcarbonyl, aralkylcarbonyloxy, alkylaminocarbonyloxy, etc.; Y = H, halo, CF3, alkoxy, cyano, NO2; Z = O, NR1; R1 = H, alkyl, formyl, alkylcarbonyl, alkoxycarbonyl; m = 1-4; n, p = 1, 2), were prepd. Thus, 3-chloro-6-methoxy-1,2-benzisoxazole and piperazine were heated 4 h in a sealed tube at 140.degree. to give 6-methoxy-3-(1-piperazinyl)-1,2-benzisoxazole. This was refluxed 5 h with 4-chloro-4'-fluorobutyrophenone, K2CO3, and KI in MeCN to give 3-[1-(4-fluorobenzoyl)propyl-4-piperazinyl]-6-methoxy-1,2-benzisoxazole. The latter was heated 1 h with 48% HBr to give 3-[1-(4-fluorobenzoyl)propyl-4-piperazinyl]-6-hydroxy-1,2-benzisoxazole hydrobromide. The latter showed IC50 = 0.24 .mu.M in a 3H-spiroperidol binding assay of D2 receptors in rat striatal membranes.

IT **186380-54-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzisoxazole and indazole derivs. as antipsychotics)

RN 186380-54-1 CAPLUS

CN 1H-Indazole, 3-[4-[4-(4-fluorophenyl)-4-oxobutyl]-1-piperazinyl]-6-methoxy-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:913283 CAPLUS
DN 123:314016
TI Preparation of heteroarylpiperidines, -pyrrolidines, and -piperazines as antipsychotics and analgesics
IN Strupczewski, Joseph; Helsley, Grover C.; Glamkowski, Edward J.; Chiang, Yulin; Bordeau, Kenneth J.; Nemoto, Peter A.; Tegeler, John J.
PA Hoechst-Roussel Pharmaceuticals Inc., USA
SO PCT Int. Appl., 296 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9511680	A1	19950504	WO 1994-US12054	19941027
	W: AU, CA, CN, CZ, JP, KR, NO, NZ, PL, RO, RU				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5776963	A	19980707	US 1994-329000	19941025
	AU 9481228	A1	19950522	AU 1994-81228	19941027
	EP 730452	A1	19960911	EP 1995-900390	19941027
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 09511215	T2	19971111	JP 1994-512724	19941027
	PL 181059	B1	20010531	PL 1994-314135	19941027
	RU 2216545	C2	20031120	RU 1996-110214	19941027
	RO 120341	B1	20051230	RO 1996-888	19941027
	ZA 9408501	A	19960528	ZA 1994-8501	19941028
	ZA 9500423	A	19960528	ZA 1995-423	19941028
	ZA 9502653	A	19960528	ZA 1995-2653	19941028
	TW 460468	B	20011021	TW 1994-83110396	19941110
	NO 9601686	A	19960614	NO 1996-1686	19960426
	NO 306994	B1	20000124		
	AU 770976	B2	20040311	AU 2001-79385	20011012
PRAI	US 1993-144265	A	19931028		
	US 1994-329000	A	19941025		
	US 1989-354411	B2	19890519		
	US 1989-456790	B1	19891229		
	US 1990-619825	B1	19901129		
	US 1991-944705	B2	19910905		
	US 1991-788269	B2	19911105		
	US 1992-969383	A2	19921030		

	WO 1994-US12054	W	19941027
	AU 1998-97207	A3	19981218

OS MARPAT 123:314016

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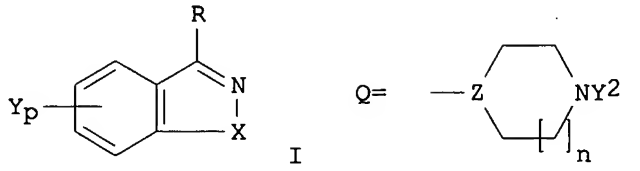

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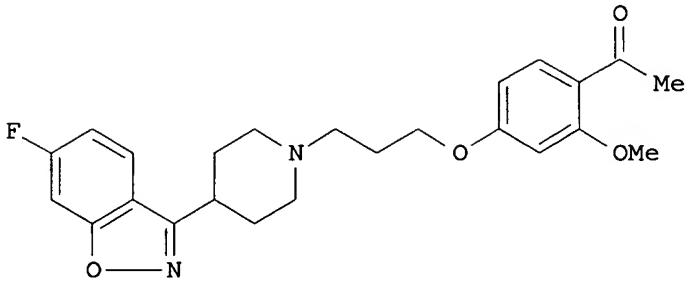


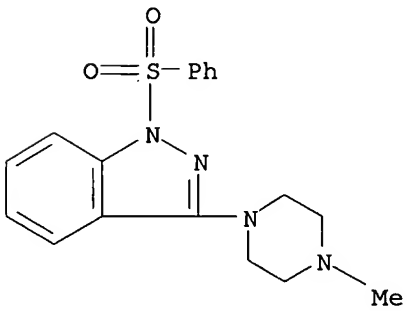
II

AB Title compds. [I; R = heterocyclyl group Q; X = O, S, (un)substituted NH; Y = H, halo, alkyl, alkoxy, etc.; Y2 = heterocyclyloxyalkyl, (hetero)aryloxyalkyl, etc.; N = 0 and Z = CH; n = 1 and Z = CH or N; p = 1 or 2] were prepd. Thus, 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole was N-alkylated by 3,4-(MeO)(MeOC)C6H3(CH2)3Cl to give title compd. II which had ED50 of 0.095mg/kg i.p. for inhibition of apomorphine-induced climbing in mice.

IT **131634-44-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heteroarylpiperidines, -pyrrolidines, and -piperazines as antipsychotics and analgesics)

RN 131634-44-1 CAPLUS

CN 1H-Indazole, 3-(4-methyl-1-piperazinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:772587 CAPLUS

DN 123:169657
TI Preparation of heteroarylpiperidines, -pyrrolidines and -piperazines as antipsychotics and analgesics.
IN Strupczewski, Joseph T.; Helsley, Grover C.; Chiang, Yulin; Bordeau, Kenneth J.; Glamkowski, Edward J.
PA Hoechst-Roussel Pharmaceuticals, Inc., USA
SO U.S., 61 pp. Cont.-in-part of U.S. Ser. No.788,269, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5364866	A	19941115	US 1992-969383	19921030
	ZA 9003830	A	19910227	ZA 1990-3830	19900518
	IL 103622	A1	20001206	IL 1992-103622	19921103
	WO 9309102	A1	19930513	WO 1992-US9276	19921104
	W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	AU 9230570	A1	19930607	AU 1992-30570	19921104
	AU 674499	B2	19970102		
	EP 612318	A1	19940831	EP 1992-924151	19921104
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	HU 70855	A2	19951128	HU 1994-1316	19921104
	RU 2127731	C1	19990320	RU 1994-28105	19921104
	RO 114447	B1	19990430	RO 1994-761	19921104
	PL 176230	B1	19990531	PL 1992-303452	19921104
	EP 959076	A1	19991124	EP 1999-111017	19921104
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	EP 959075	A1	19991124	EP 1999-111314	19921104
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US 5591745	A	19970107	US 1995-469365	19950606
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	US 37029	E	20010123	US 1998-185968	19981105
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	US 37729	E	20020604	US 1999-240842	19990203
	RU 2239434	C2	20041110	RU 1999-126501	19991220
	AU 770976	B2	20040311	AU 2001-79385	20011012
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	US 1990-619825	B1	19901129		
	US 1991-944705	B2	19910905		
	US 1991-788269	B2	19911105		
	US 1992-969383	A	19921030		
	CS 1994-1102	A	19921104		
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	WO 1992-US9276	A	19921104		
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	US 1994-329000	A3	19941025		
	US 1995-469357	A5	19950606		
	US 1995-471574	A5	19950606		
	RU 1995-115403	A	19950906		
	CZ 1985-282300	A3	19970716		
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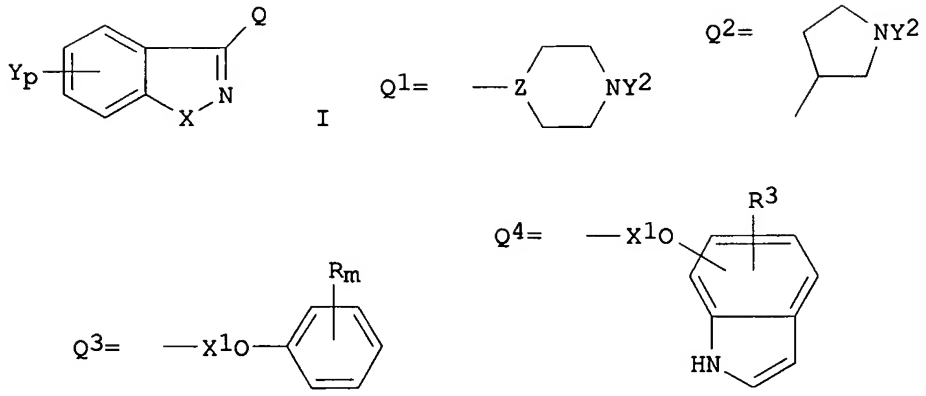
OS MARPAT 123:169657

GI



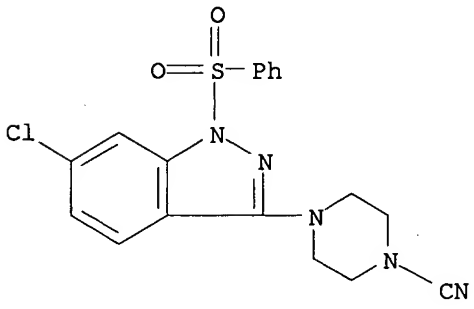
AB Title compds. [I; X = O, S, NH, NR2; R2 = alkyl, aryl, aralkyl, cycloalkyl, aroyl, alkanoyl, PhSO2; p = 1, 2; Y = H, alkyl, OH, Cl, F, Br, iodo, alkoxy, CF3, NO2, amino, OH, alkoxy; Q = Q1, Q2; Z = CH, N; Y2 = Q3, Q4, etc.; X1 = (CH2)n, CH2C.tplbond.CCH2, CH2CH:CHCH2, etc.; n = 2-5; R = H, alkyl, alkoxy OH, CO2H, Cl, F, Br, iodo, amino, dialkylamino, NO2, alkylthio, F3CO, aminocarbonyl, CHO, etc.; R3 = H, OMe; m = 1-3], were prepd. Thus, 3-(1-piperazinyl)-1H-indazole (prepn. given), K2CO3, 1-[4-(3-chloropropoxy)-3-methoxyphenyl]ethanone, and KI were stirred 5 h in DMF to give 64% 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]ethanone. In the apomorphine-induced climbing assay in rats, I showed ED50 = 0.095-22.6 mg/kg, i.p.; I inhibited

phenylquinone-induced writhing in mice with ED50 = 0.03-0.17 mg/kg s.c.

IT **131634-69-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heteroarylpiperidines, -pyrrolidines and -piperazines as antipsychotics and analgesics)

RN 131634-69-0 CAPLUS

CN 1H-Indazole, 6-chloro-3-(4-cyano-1-piperazinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:657604 CAPLUS

DN 123:55870

TI Preparation of indazole derivatives as antipsychotics

IN Sasaki, Toshiro; Nakatani, Juko; Hiranuma, Toyoichi; Kashima, Hiroko; Fukuda, Yoshimasa

PA Meiji Seika Co, Japan

SO Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF

DT Patent

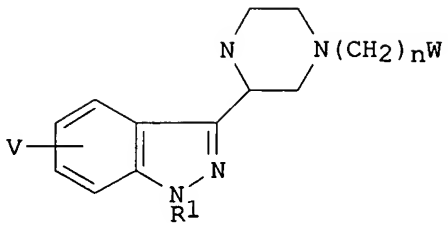
LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 07033744	A2	19950203	JP 1993-204612	19930727
PRAI JP 1993-204612		19930727		

OS MARPAT 123:55870

GI



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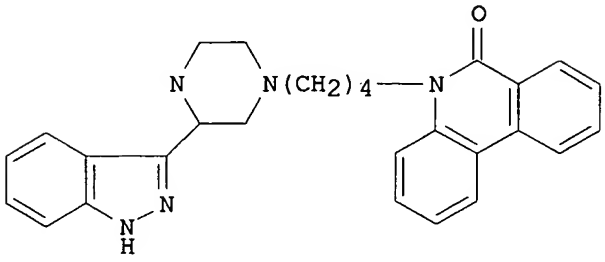


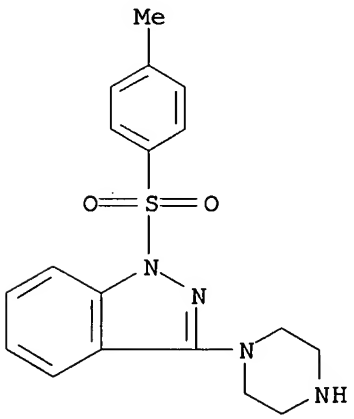
II

AB The title compds. I [n = 2 - 6; V = H, halo; R1 = H, alkyl, etc.; W = heterocycle (further details on said heterocycle are given)] are prepd. Indazole deriv. II (prepn. given) showed ED50 of 0.50 mg/Kg i.p. against methamphetamine-induced activities in mice, vs. ED50 of 0.16 mg/Kg i.p. shown by haloperidol. In a test for catalepsy-causing activity in mice, II showed ED50 of 18 mg/Kg i.p., vs. ED50 of 1.3 mg/Kg i.p. shown by haloperidol.

IT **164519-92-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indazole derivs. as antipsychotics)

RN 164519-92-0 CAPLUS

CN 1H-Indazole, 1-[(4-methylphenyl)sulfonyl]-3-(1-piperazinyl)- (9CI) (CA INDEX NAME)



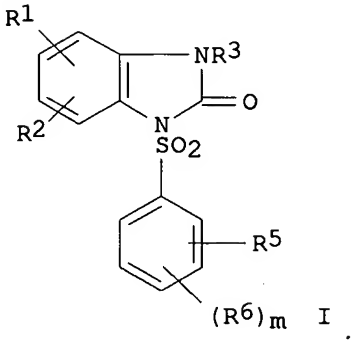
L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:480317 CAPLUS

DN 122:239703
TI Preparation of 1-benzenesulfonyl-1,3-dihydro-2H-benzimidazol-2-ones as vasopressin and oxytocin antagonists.
IN Di Malta, Alain; Mettefeu, Daniel; Roux, Richard; Garcia, Georges; Nisato, Dino; Serradeil-Legal, Claudine
PA Sanofi, Fr.
SO Eur. Pat. Appl., 62 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 636614	A1	19950201	EP 1994-401736	19940728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2708608	A1	19950210	FR 1993-9403	19930730
FR 2708608	B1	19951027		
CA 2129214	AA	19950131	CA 1994-2129214	19940729
FI 9403571	A	19950131	FI 1994-3571	19940729
NO 9402835	A	19950131	NO 1994-2835	19940729
AU 9468788	A1	19950209	AU 1994-68788	19940729
AU 679535	B2	19970703		
ZA 9405655	A	19950314	ZA 1994-5655	19940729
HU 67801	A2	19950529	HU 1994-2238	19940729
US 5585394	A	19961217	US 1994-282547	19940729
RU 2135477	C1	19990827	RU 1994-27577	19940729
CN 1106804	A	19950816	CN 1994-114901	19940730
JP 07215947	A2	19950815	JP 1994-199080	19940801

PRAI FR 1993-9403 A 19930730
OS MARPAT 122:239703
GI



I

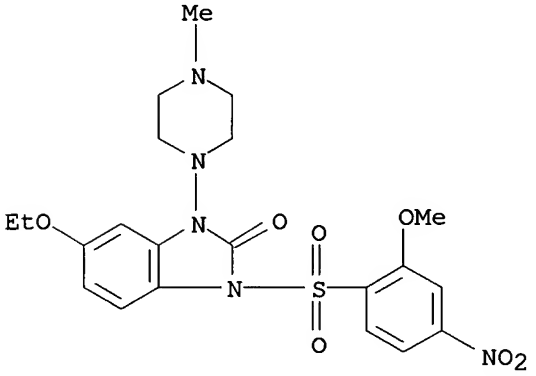
AB Title compds. [I; R1, R2 = H, halo, OH, .omega.-haloalkoxy, alkyl, alkoxy, CF3, .omega.-hydroxyalkoxy, cyano, PhO, phenylsulfonamido, alkoxycarbonylamino, etc.; R3 = R4, (R4-substituted) alkyl, alkoxyalkyl, indanyl, hexahydroindanyl, adamantyl, noradamantyl, norbornyl, etc.; R4 = amino, aryl, furyl, thienyl, pyrrolyl, triazolyl, tetrazolyl, pyridyl, pyrimidinyl, (substituted) cycloalkyl, etc.; R5, R6 = H, halo, alkyl, CF3, cyano, NO2, hydroxylamino, carboxy, (substituted) guanidino, etc.; m = 1-4; with provisos], were prepd. Thus, 5-chloro-1,3-dihydro-3-phenyl-2H-

benzimidazol-2-one in DMF was treated with NaH and then 2-methoxy-4-nitrobenzenesulfonyl chloride to give 5-chloro-1,3-dihydro-1-(2-methoxy-4-nitrobenzenesulfonyl)-3-phenyl-2H-benzimidazol-2-one. I inhibited binding of arginine vasopressin to vasopressin V2 receptors with IC50 values of <10-9 M.

IT **162139-46-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-benzenesulfonyl-1,3-dihydro-2H-benzimidazol-2-ones as vasopressin and oxytocin antagonists)

RN 162139-46-0 CAPLUS

CN 2H-Benzimidazol-2-one, 5-ethoxy-1,3-dihydro-1-[(2-methoxy-4-nitrophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1994:54553 CAPLUS

DN 120:54553

TI Preparation of heteroarylpiperidines, pyrrolidines and piperazines and their use as antipsychotics and analgetics

IN Strupczewski, Joseph T.; Helsley, Grover C.; Chiang, Yulin; Bordeau, Kenneth J.; Glamkowski, Edward J.

PA Hoechst-Roussel Pharmaceuticals Inc., USA

SO Eur. Pat. Appl., 197 pp.
CODEN: EPXXDW

DT Patent

LA English

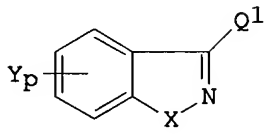
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 542136	A1	19930519	EP 1992-118982	19921105
	EP 542136	B1	20021016		
	R: PT				
	US 5364866	A	19941115	US 1992-969383	19921030
	IL 103622	A1	20001206	IL 1992-103622	19921103
	EP 957102	A1	19991117	EP 1999-111016	19921105
	R: PT				
	EP 963984	A1	19991215	EP 1999-111315	19921105
	R: PT				
	EP 1052255	A1	20001115	EP 2000-115401	19921105
	R: PT				

	AU 770976	B2	20040311	AU 2001-79385	20011012
PRAI	US 1991-788269	A	19911105		
	US 1992-969383	A	19921030		
	US 1989-354411	B2	19890519		
	US 1989-456790	B1	19891229		
	US 1990-619825	B1	19901129		
	US 1991-944705	B2	19910905		
	EP 1992-118982	A3	19921105		
	AU 1998-97207	A3	19981218		

OS MARPAT 120:54553

GI



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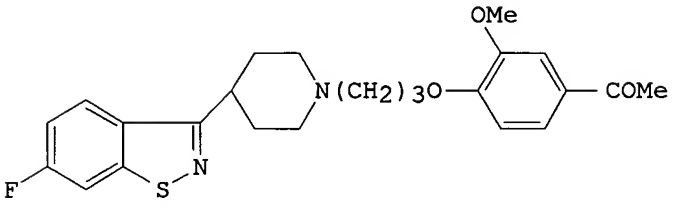


II

AB Title compds. I (X = O, S, NH, R2N wherein R2 = alkyl, arylalkyl, aryl, cycloalkyl, aroyl, alkanoyl, PhSO2; Y = H, alkyl, HO, halo, alkoxy, F3C, O2N, H2N; p = 1, 2; Q = substituted piperidinyl, -piperazinyl, -heterocyclyl, etc.), geometrical optical and stereoisomers, or a salt thereof, are prepd. 6-Fluoro-3-(4-piperidinyl)-1,2-benzoxazole-HCl, 1-(4-(3-chloropropoxy)-3-methoxyphenyl]ethanone, and DMF were heated at 90.degree. for 16 h to give the title compd. II. The antipsychotic activity in the climbing mice assay for II was ED50 0.095 mg/kg i.p. and the analgesic activity as shown by inhibition of phenylquinone induced-writhing was ED50 0.03 mg/kg 5.0. A large no. of I was prepd.

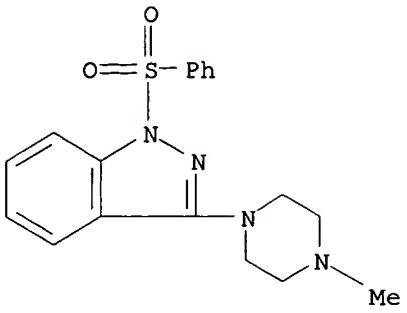
IT **131634-44-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, on prepn. of analgesics and antipsychotics)

RN 131634-44-1 CAPLUS

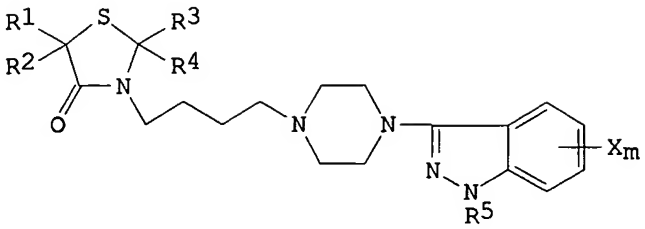
CN 1H-Indazole, 3-(4-methyl-1-piperazinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1991:656231 CAPLUS
DN 115:256231
TI Preparation of 3-(1-thiazolidinylbutyl-4-piperazinyl)-1H-indazoles as antipsychotics
IN Hrib, Nicholas J.; Strupczewski, Joseph T.; Jurcak, John G.; Bordeau, Kenneth
PA Hoechst-Roussel Pharmaceuticals, Inc., USA
SO U.S., 8 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5041445	A	19910820	US 1990-526089	19900521
	AU 9176181	A1	19911121	AU 1991-76181	19910429
	AU 642243	B2	19931014		
	NO 9101921	A	19911122	NO 1991-1921	19910516
	NO 179749	B	19960902		
	NO 179749	C	19961211		
	FI 9102401	A	19911122	FI 1991-2401	19910517
	FI 94757	B	19950714		
	FI 94757	C	19951025		
	IL 98184	A1	19950315	IL 1991-98184	19910517
	ZA 9103794	A	19920226	ZA 1991-3794	19910520
	JP 04226979	A2	19920817	JP 1991-142777	19910520
	JP 3161755	B2	20010425		
	PL 165731	B1	19950228	PL 1991-290327	19910520
	RU 2038355	C1	19950627	RU 1991-4895498	19910520
	CZ 280005	B6	19950913	CZ 1991-1480	19910520
	KR 215616	B1	19990816	KR 1991-8144	19910520
	CA 2042982	AA	19911122	CA 1991-2042982	19910521
	EP 458234	A2	19911127	EP 1991-108124	19910521
	EP 458234	A3	19920930		
	EP 458234	B1	19990331		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	HU 61018	A2	19921130	HU 1991-1697	19910521
	HU 215845	B	19990428		
	AT 178327	E	19990415	AT 1991-108124	19910521
	ES 2130125	T3	19990701	ES 1991-108124	19910521
	RU 2105765	C1	19980227	RU 1993-5087	19930518
PRAI	US 1990-526089	A	19900521		

OS MARPAT 115:256231
GI



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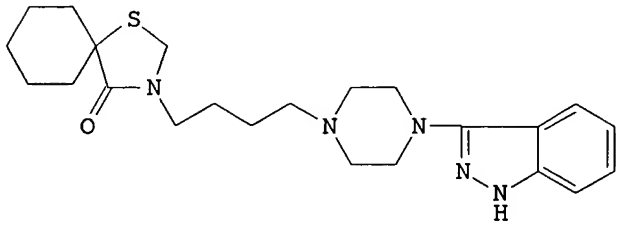
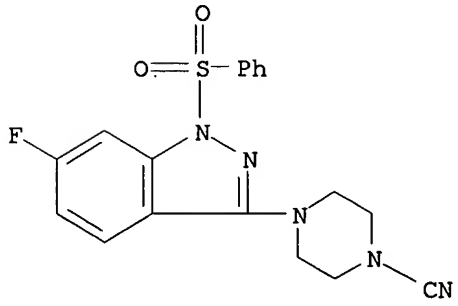


II

AB Title compds. (I; R1-R4 = H, alkyl; R1R2C, R3R4C = cyclopentane, cyclohexane, or cycloheptane ring; R5 = R1, alkanoyl, aroyl; X = R1, halo, alkoxy; m = 1-3), were prepd. Thus, 4-oxothiazolidine was condensed with Br(CH2)4Br in DMF contg. KOH to give 3-(4-bromobutyl)-4-thiazolidinone. The product was treated with LiN(CHMe2)2/I(CH2)5I in THF to give 3-(4-bromobutyl)-1-thia-3-azaspiro[4.5]decan-4-one, which was condensed with 3-(1-piperazinyl)-1H-indazole (prepn. given) in MeCN contg. K2CO3 to give title compd. II. I showed ED50 values of 0.04-1.3 mg/kg i.p. in the climbing mouse assay of P. Protais/B. Costall, vs. 8.1 mg/kg i.p. for clozapine.

IT **131634-62-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of antipsychotic)

RN 131634-62-3 CAPLUS
CN 1H-Indazole, 3-(4-cyano-1-piperazinyl)-6-fluoro-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

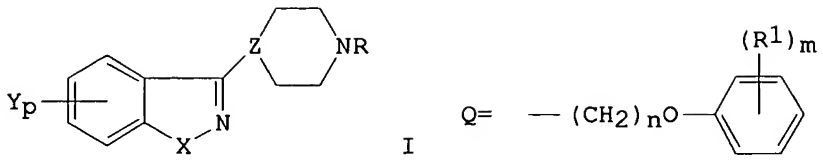


L4 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1991:185553 CAPLUS

DN 114:185553
TI Preparation of N-(aryloxyalkyl)heteroarylpiperidines and -heteroarylpiperazines as antipsychotic agents
IN Strupczewski, Joseph Thomas; Helsley, Grover Cleveland; Chiang, Yulin; Bordeau, Kenneth J.
PA Hoechst-Roussel Pharmaceuticals, Inc., USA
SO Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 402644	A1	19901219	EP 1990-109208	19900516
	EP 402644	B1	19950816		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ES 2076253	T3	19951101	ES 1990-109208	19900516
	DD 300433	A5	19920611	DD 1990-340772	19900517
	IL 94425	A1	19940227	IL 1990-94425	19900517
	CZ 282385	B6	19970716	CZ 1990-2425	19900517
	SK 279474	B6	19981104	SK 1990-2425	19900517
	FI 104072	B1	19991115	FI 1990-2449	19900517
	CA 2017193	AA	19901119	CA 1990-2017193	19900518
	CA 2017193	C	20000627		
	NO 9002214	A	19901120	NO 1990-2214	19900518
	NO 177301	B	19950515		
	NO 177301	C	19950823		
	ZA 9003830	A	19910227	ZA 1990-3830	19900518
	JP 03063263	A2	19910319	JP 1990-127090	19900518
	JP 06062580	B4	19940817		
	HU 58720	A2	19920330	HU 1990-3090	19900518
	HU 218200	B	20000628		
	PL 163965	B1	19940531	PL 1990-285247	19900518
	RU 2062776	C1	19960627	RU 1990-4743876	19900518
	KR 157308	B1	19981116	KR 1990-7102	19900518
	CN 1048037	A	19901226	CN 1990-103721	19900519
	CN 1086387	B	20020619		
	AU 9055770	A1	19901122	AU 1990-55770	19900523
	AU 640653	B2	19930902		
	RU 2147583	C1	20000420	RU 1995-115403	19950906
	CZ 288464	B6	20010613	CZ 1996-3628	19961210
	CZ 288710	B6	20010815	CZ 1996-3629	19961210
	FI 9901869	A	19990902	FI 1999-1869	19990902
	RU 2239434	C2	20041110	RU 1999-126501	19991220
	CN 1305812	A	20010801	CN 2000-130979	20001116
	AU 770976	B2	20040311	AU 2001-79385	20011012
PRAI	US 1989-354411	A	19890519		
	US 1989-456790	A	19891229		
	RU 1995-115403	A	19950906		
	CZ 1985-282300	A3	19970716		
	AU 1998-97207	A3	19981218		

OS MARPAT 114:185553
GI



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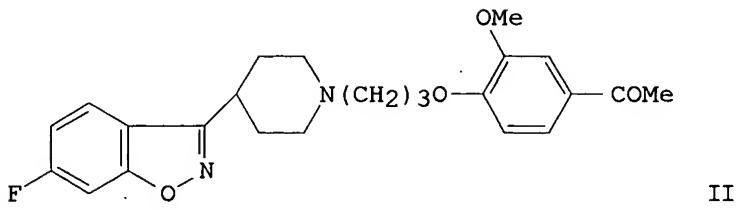


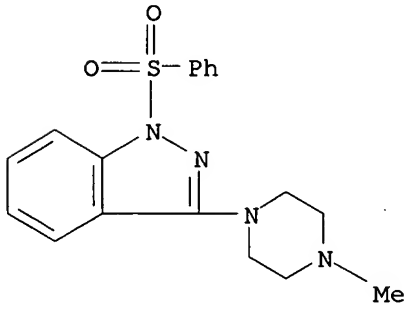
II

AB The title compds. I [R = Q; X = O, S, (substituted) NH; p = 1,2; Y = H, C1-6 alkyl, OH, Cl, F, Br, iodo, C1-6 alkoxy, CF3, NO2, NH2; when p = 1, Y = alkoxy; when p = 2, X = O; Z = CH, N; n = 2-5; R1 = H, alkyl, C1-6 alkoxy, OH, CO2H, Cl, F, Br, iodo, NO2, mono- or dialkylamino, CF3, cyano, CONH2, alkanoyl, aroyl, (substituted) Ph, etc.], having antipsychotic and/or analgesic activity, are prepd. by reaction of I (R = H) with phenoxyalkyl halides QX1 (X1 = Cl, Br). Thus, a mixt. of 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole-HCl, 1-[4-(3-chloropropoxy)-3-methoxyphenyl]ethanone, and K2CO3 in DMF was stirred 16 h at 90.degree. to give 58% a benzisoxazole (II). A total of 53 I were prepd. II inhibited the apomorphine-induced climbing behavior in mice with ED50 of 0.095 mg/kg, i.p.

IT **131634-44-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, in prepn. of analgesic and antipsychotic)

RN 131634-44-1 CAPLUS

CN 1H-Indazole, 3-(4-methyl-1-piperazinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1991:62120 CAPLUS

DN 114:62120

TI Preparation of 3-(1-substituted-4-piperazinyl)-1H-indazoles as analgesics and antipsychotics

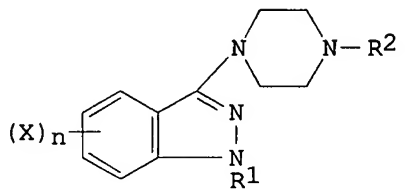
IN Strupczewski, Joseph T.; Bordeau, Kenneth J.

PA Hoechst-Roussel Pharmaceuticals, Inc., USA

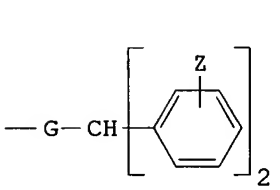
SO U.S., 27 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4954503	A	19900904	US 1989-405161	19890911
US 5077405	A	19911231	US 1990-526154	19900521
EP 417653	A1	19910320	EP 1990-117251	19900907
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2024996	AA	19910312	CA 1990-2024996	19900910
NO 9003925	A	19910312	NO 1990-3925	19900910
AU 9062298	A1	19910314	AU 1990-62298	19900910
ZA 9007174	A	19910626	ZA 1990-7174	19900910
JP 03167175	A2	19910719	JP 1990-237300	19900910
PRAI US 1989-405161	A3	19890911		

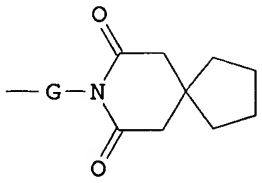
OS CASREACT 114:62120; MARPAT 114:62120
GI



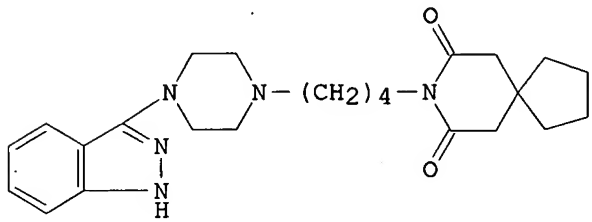
I



Q2



Q1

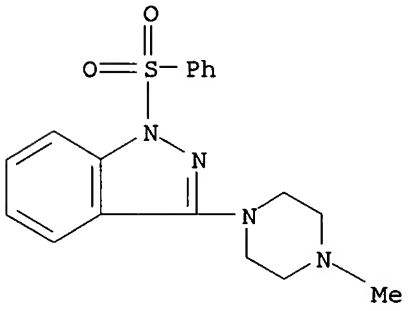


II

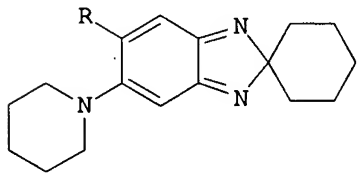
AB Title compds. I [R1 = H, (cycloalkyl- or aryl)alkyl, PhSO2; R2 = H, (hydroxy- or aryl- or cycloalkyl)alkyl, acyl, Q1, Q2 (G = lower alkylene, Z = H, halo, alkoxy, CF3, NO2, NH2), etc.; X = H, alkyl, OH, halo, alkoxy, CF3, NO2, NH2; n = 1-4; R2 .noteq. alkyl when R1 = H or acyl and X = Cl], useful as analgesics and antipsychotics, were prepd. For example, the hemifumarate of II was prepd. in 17% yield by N-alkylation of 3-(1-piperazinyl)-1H-indazole, followed by acidification by fumaric acid. The s.c. ED50 for II-hemifumarate for inhibition of writhing in mice was

0.07 mg/kg, vs. 3.9 mg/kg for propoxyphene (std). The antipsychotic activity of II was also demonstrated by the apomorphine climbing assay in mice.

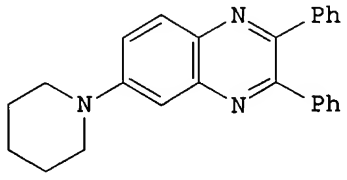
IT **131634-44-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for analgesics and antipsychotics)
RN 131634-44-1 CAPLUS
CN 1H-Indazole, 3-(4-methyl-1-piperazinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1985:62148 CAPLUS
DN 102:62148
TI Umpolung of o-phenylenediamines by conversion into isobenzimidazole. An expedient approach to heterocycles with nucleophilic substituents
AU Davies, Kathryn E.; Domany, George E.; Farhat, Mahmoud; Herbert, John A. L.; Jefferson, Alan M.; Martin, Maria de los A. Guttierrez; Suschitzky, Hans
CS Dep. Chem. Appl. Chem., Univ. Salford, Salford, M5 4WT, UK
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1984), (11), 2465-75
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
OS CASREACT 102:62148
GI



III

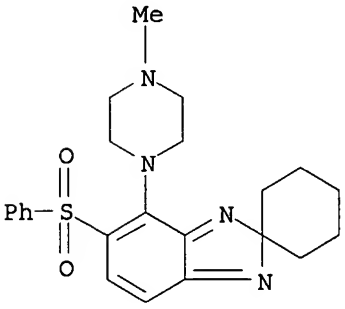


VI

AB Isobenzimidazole-2-spirocyclohexane (I) reacted with N, O, S, or C nucleophiles to give mono- or disubstituted derivs. which were reductively cleaved to give substituted o-phenylenediamines. E.g., treatment of I with piperidine (II) in EtOH contg. MnO2 at room temp. for 6 h gave 65% of the corresponding deriv. III (R = H) (IV), whereas in the presence of excess II, 35% of the disubstituted deriv. III (R = piperidin-1-yl) (V)

was obtained. IV and V were readily converted to heterocycles, e.g. VI, through reductive ring cleavage and cyclocondensation reactions.

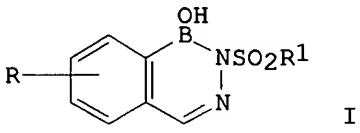
IT **94526-24-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 94526-24-6 CAPLUS
CN Spiro[2H-benzimidazole-2,1'-cyclohexane], 4-(4-methyl-1-piperazinyl)-5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1980:58970 CAPLUS
DN 92:58970
TI Substituted 1,2-dihydro[2.3.1]diazaborin compounds
IN Grassberger, Maximilian
PA Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 25 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 2809212	A1	19790906	DE 1978-2809212	19780303
PRAI DE 1978-2809212	A	19780303		

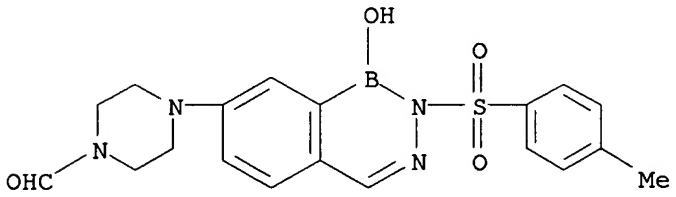
GI



I

AB Approx. 60 title compds. were prepd. by cyclization of BX3 (X = Br, Cl) with hydrazones, R2CH:NNHSO2R1 (R2 = substituted-furyl, -thienyl, -pyrrolyl, -phenyl; R1 = p-tolyl, Me, p-O2NC6H4, 2,4,6-Me3C6H2, 2,4,5-Cl3C6H2, Ph, p-H2NC6H4, Pr, etc.). Thus, 2.9 g m-MeC6H4CH:NNHSO2C6H4Me-p, 100 mg AlCl3, and 2.5 g BBr3 were refluxed 2 h in 5 mL dry hexane to give I (R = 6-Me, R1 = p-tolyl). The title compds. were effective bactericides, fungicides, and trichomonacides. The bacteriostatic ED in the mouse was 5-50 mg/kg p.o.

IT **67397-71-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 67397-71-1 CAPLUS
CN 2,3,1-Benzodiazaborine, 7-(4-formyl-1-piperazinyl)-1,2-dihydro-1-hydroxy-2-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

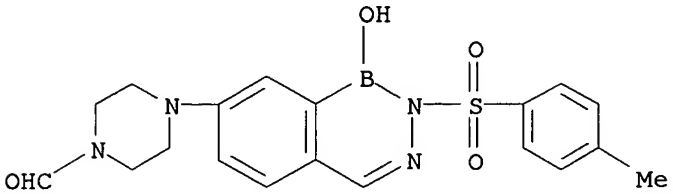


L4 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1978:509937 CAPLUS
DN 89:109937
TI Pesticidal 1,2-dihydro[2,3,1]diazaborines
IN Grassberger, Maximilian
PA Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 25 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2750878	A1	19780601	DE 1977-2750878	19771114
	DK 7705077	A	19780526	DK 1977-5077	19771116
	FI 7703463	A	19780526	FI 1977-3463	19771116
	SE 7712991	A	19780526	SE 1977-12991	19771117
	NL 7712776	A	19780529	NL 1977-12776	19771121
	BE 861124	A1	19780523	BE 1977-182875	19771123
	AU 7730910	A1	19790531	AU 1977-30910	19771123
	ES 464445	A1	19781201	ES 1977-464445	19771124
	JP 53065889	A2	19780612	JP 1977-142122	19771125
	FR 2373550	A1	19780707	FR 1977-35485	19771125
	ZA 7707025	A	19790627	ZA 1977-7025	19771125
PRAI	CH 1976-14836	A	19761125		
	CH 1976-14837	A	19761125		
	CH 1977-3342	A	19770317		

GI For diagram(s), see printed CA Issue.
AB Approx. 60 title compds. I (Z = a chain which completes substituted benzo-, naphthaleno-, pyrrolo-, thieno-, or furo-; R = H, cation; R1 = alkyl, aryl) were prepd. by cyclization of BX3 (X = Br, Cl) with hydrazones. Thus, 2.9 g m-MeC6H4CH:NNHSO2C6H4Me-p, 2.5 g BBr3, and 100 mg AlCl3 in 50 mL hexane gave 1,2-dihydro-1-hydroxy-6-methyl-2-(p-tosyl)-2,3,1-benzodiazaborine. I were bactericides, fungicides, and trichomonacides. As a bactericide in the mouse, the dosage was established as 5-50 mg/kg p. o. or s. c.
IT **67397-71-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 67397-71-1 CAPLUS

CN 2,3,1-Benzodiazaborine, 7-(4-formyl-1-piperazinyl)-1,2-dihydro-1-hydroxy-2-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



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L4 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:701785 CAPLUS
DN 141:200209
TI Heterocyclyl-3-sulfonylazaindole or-azaindazole derivatives as 5-HT6 receptor ligands, and their use for the treatment of central nervous system disorders
IN Bernotas, Ronald Charles; Yan, Yinfa
PA Wyeth, John, and Brother Ltd., USA
SO U.S. Pat. Appl. Publ., 18 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

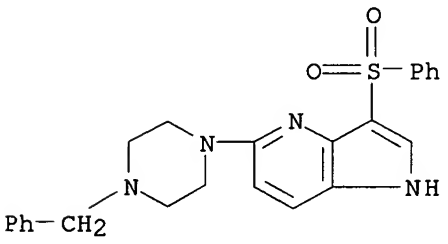
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004167030	A1	20040826	US 2004-778441	20040213
	AU 2004213375	A1	20040902	AU 2004-213375	20040210
	CA 2515571	AA	20040902	CA 2004-2515571	20040210
	WO 2004074286	A1	20040902	WO 2004-US3930	20040210
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1592690	A1	20051109	EP 2004-709917	20040210
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2004007493	A	20060214	BR 2004-7493	20040210
PRAI	US 2003-447515P	P	20030214		
	WO 2004-US3930	A	20040210		

OS MARPAT 141:200209
AB The invention provides the title compds. and their use for the treatment of a central nervous system disorder related to or affected by the 5-HT6 receptor. Prepn. of e.g. 5-(4-methylpiperazin-1-yl)-3-(phenylsulfonyl)-1H-pyrazolo[4,3-b]pyridine hydrochloride is described.
IT **744198-07-0P**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL

(Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(heterocyclyl-3-sulfonylazaindole or-azaindazole derivs. as 5-HT6 receptor ligands, and use for treatment of central nervous system disorders)

RN 744198-07-0 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine, 5-[4-(phenylmethyl)-1-piperazinyl]-3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

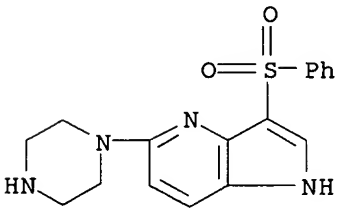


IT **744198-08-1P**

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclyl-3-sulfonylazaindole or-azaindazole derivs. as 5-HT6 receptor ligands, and use for treatment of central nervous system disorders)

RN 744198-08-1 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine, 3-(phenylsulfonyl)-5-(1-piperazinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

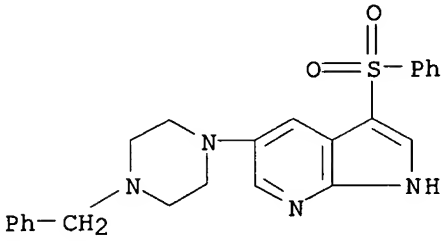


●2 HCl

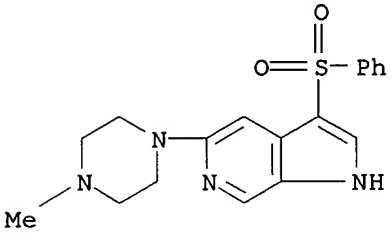
IT **744197-58-8 744197-59-9 744197-60-2**
744197-61-3 744197-62-4 744197-63-5
744197-64-6 744197-65-7 744197-66-8
744197-67-9 744197-68-0 744197-69-1
744197-70-4 744197-71-5 744197-72-6
744197-73-7 744197-74-8 744197-75-9
744197-76-0 744197-77-1 744197-78-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclyl-3-sulfonylazaindole or-azaindazole derivs. as 5-HT6 receptor ligands, and use for treatment of central nervous system disorders)

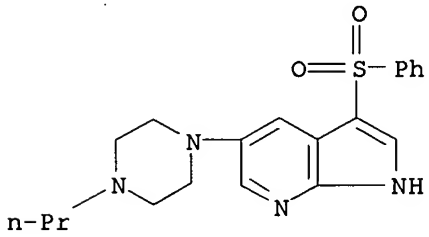
RN 744197-58-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-[4-(phenylmethyl)-1-piperazinyl]-3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



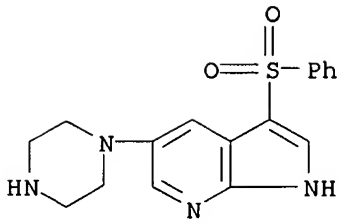
RN 744197-59-9 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 5-(4-methyl-1-piperazinyl)-3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



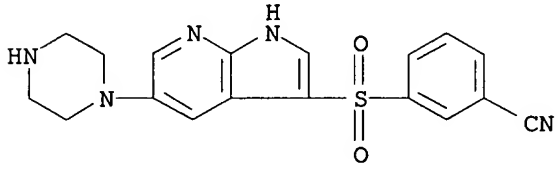
RN 744197-60-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-(phenylsulfonyl)-5-(4-propyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



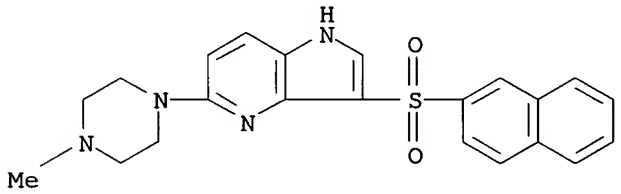
RN 744197-61-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-(phenylsulfonyl)-5-(1-piperazinyl)- (9CI) (CA INDEX NAME)



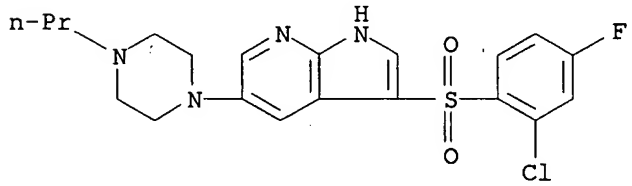
RN 744197-62-4 CAPLUS
CN Benzonitrile, 3-[[5-(1-piperazinyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)



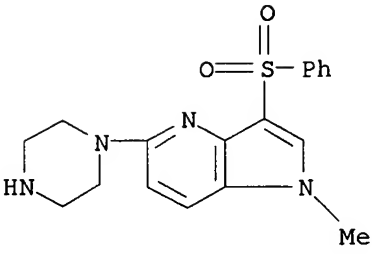
RN 744197-63-5 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine, 5-(4-methyl-1-piperazinyl)-3-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



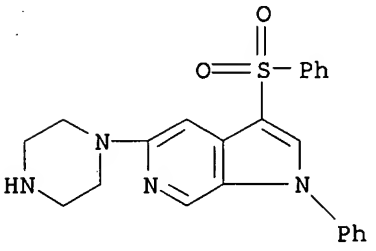
RN 744197-64-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-[(2-chloro-4-fluorophenyl)sulfonyl]-5-(4-propyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



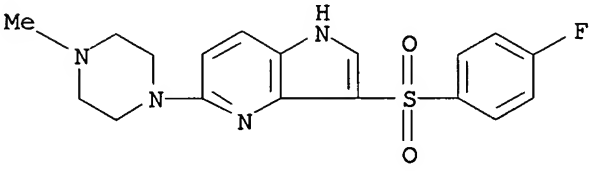
RN 744197-65-7 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine, 1-methyl-3-(phenylsulfonyl)-5-(1-piperazinyl)- (9CI) (CA INDEX NAME)



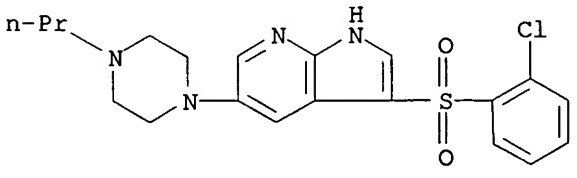
RN 744197-66-8 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 1-phenyl-3-(phenylsulfonyl)-5-(1-piperazinyl)- (9CI) (CA INDEX NAME)



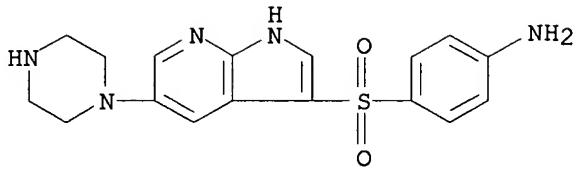
RN 744197-67-9 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine, 3-[(4-fluorophenyl)sulfonyl]-5-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



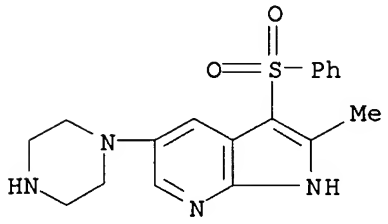
RN 744197-68-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-[(2-chlorophenyl)sulfonyl]-5-(4-propyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



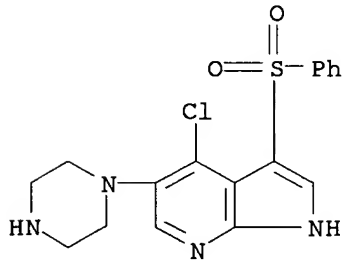
RN 744197-69-1 CAPLUS
CN Benzenamine, 4-[[5-(1-piperazinyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)



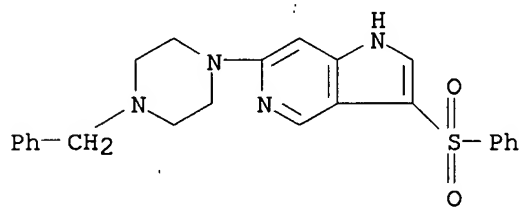
RN 744197-70-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2-methyl-3-(phenylsulfonyl)-5-(1-piperazinyl)-(9CI) (CA INDEX NAME)



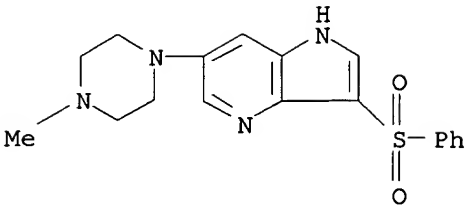
RN 744197-71-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-chloro-3-(phenylsulfonyl)-5-(1-piperazinyl)-(9CI) (CA INDEX NAME)



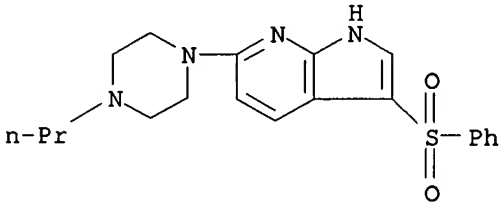
RN 744197-72-6 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 6-[4-(phenylmethyl)-1-piperazinyl]-3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



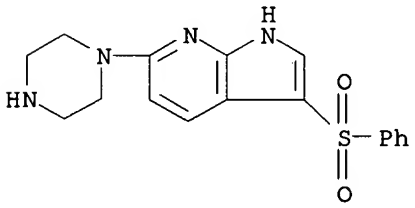
RN 744197-73-7 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine, 6-(4-methyl-1-piperazinyl)-3-(phenylsulfonyl)-(9CI) (CA INDEX NAME)



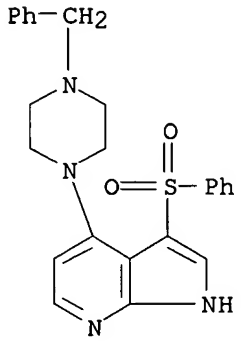
RN 744197-74-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-(phenylsulfonyl)-6-(4-propyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 744197-75-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-(phenylsulfonyl)-6-(1-piperazinyl)- (9CI) (CA INDEX NAME)

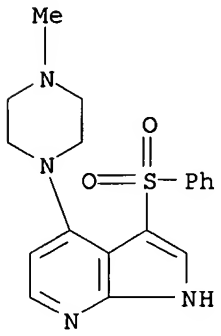


RN 744197-76-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-[4-(phenylmethyl)-1-piperazinyl]-3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 744197-77-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 4-(4-methyl-1-piperazinyl)-3-(phenylsulfonyl)-
(9CI) (CA INDEX NAME)



RN 744197-78-2 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 3-(phenylsulfonyl)-4-(4-propyl-1-piperazinyl)-
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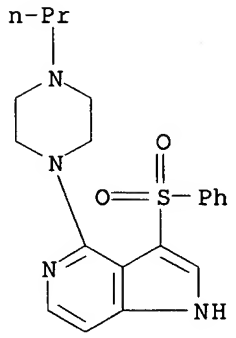

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:2873 CAPLUS
DN 140:42036
TI Preparation of pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders
IN Johansson, Gary; Jenmalm-Jensen, Annika; Beierlein, Katarina
PA Biovitrum AB, Swed.
SO PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004000828	A1	20031231	WO 2003-SE1061	20030619

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,

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	US 2004024210	A1	20040205	US 2003-465034	20030619
	EP 1513828	A1	20050316	EP 2003-760999	20030619
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	NO 2005000294	A	20050204	NO 2005-294	20050119
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	SE 2002-2181	A	20020711		
	US 2002-406120P	P	20020826		
	SE 2002-2908	A	20021001		
	US 2002-434010P	P	20021217		
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	US 2003-464701P	P	20030423		
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OS MARPAT 140:42036

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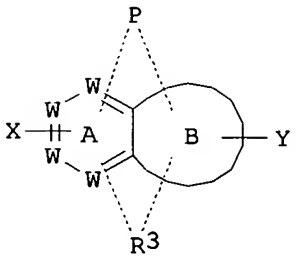

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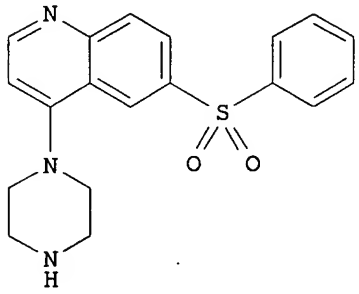


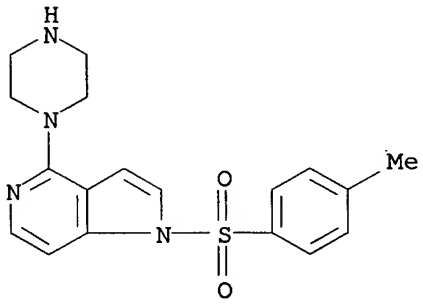
II

AB Title compds. I [ring B = same as ring A, 5-membered (un)substituted heterocycle/heteroaryl; W = N, CH, C provided that not more than 3 W groups are N in both rings A, B together; P = aminosulfonyl, sulfonamido, etc.; X, Y = H, halo, alkyl, CF3, etc.; R3 = piperazinyl, etc.] are prepd. For instance, 6-benzenesulfonyl-4-chloroquinoline is reacted with piperazine (CH3CN, 80.degree., overnight) to give II isolated as the HCl salt. II has Ki = 10 nM for the human 5-HT6 receptor. I are useful for the treatment of conditions relating to obesity, type II diabetes and CNS disorders.

IT **637000-03-4P**, 4-Piperazin-1-yl-1-(toluene-4-sulfonyl)-1H-pyrrolo[3,2-c]pyridine hydrochloride **637000-04-5P**, 1-(3-Chloro-2-methylbenzenesulfonyl)-4-piperazin-1-yl-1H-pyrrolo[3,2-c]pyridine hydrochloride **637000-05-6P**, 1-(3,4-Dimethoxybenzenesulfonyl)-4-piperazin-1-yl-1H-pyrrolo[3,2-c]pyridine hydrochloride **637000-06-7P**, 4-[[4-(Piperazin-1-yl)pyrrolo[3,2-c]pyridine-1-yl]sulfonyl]benzonitrile hydrochloride **637000-07-8P**, 1-(4,5-Dichlorothiophene-2-sulfonyl)-4-piperazin-1-yl-1H-pyrrolo[3,2-c]pyridine hydrochloride **637000-08-9P**, 1-(2-Chloro-4-fluorobenzenesulfonyl)-4-piperazin-1-yl-1H-pyrrolo[3,2-c]pyridine

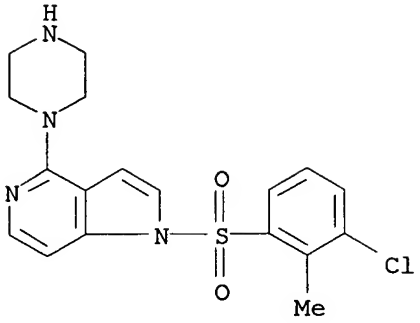
hydrochloride **637000-10-3P**, 1-(5-Chlorothiophene-2-sulfonyl)-4-piperazin-1-yl-1H-pyrrolo[3,2-c]pyridine hydrochloride **637000-11-4P**, 1-(4-Butylbenzenesulfonyl)-4-piperazin-1-yl-1H-pyrrolo[3,2-c]pyridine hydrochloride **637000-12-5P**, 1-(4-Phenoxybenzenesulfonyl)-4-piperazin-1-yl-1H-pyrrolo[3,2-c]pyridine hydrochloride **637000-13-6P**, 1-(Phenylsulfonyl)-4-piperazin-1-yl-1H-pyrrolo[3,2-c]pyridine hydrochloride **637000-14-7P**, 1-[(4-Chlorophenyl)sulfonyl]-4-piperazin-1-yl-1H-pyrrolo[3,2-c]pyridine hydrochloride **637000-15-8P**, 1-[(4-Methoxyphenyl)sulfonyl]-4-piperazin-1-yl-1H-pyrrolo[3,2-c]pyridine hydrochloride **637000-16-9P**, 1-[(2-Methoxy-5-methylphenyl)sulfonyl]-4-piperazin-1-yl-1H-pyrrolo[3,2-c]pyridine hydrochloride **637000-17-0P**, 4-Piperazin-1-yl-1-[[2-(trifluoromethyl)phenyl]sulfonyl]-1H-pyrrolo[3,2-c]pyridine hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of naphthelene and pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders)

RN 637000-03-4 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(4-methylphenyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



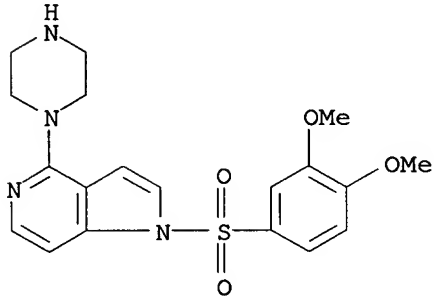
● HCl

RN 637000-04-5 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(3-chloro-2-methylphenyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



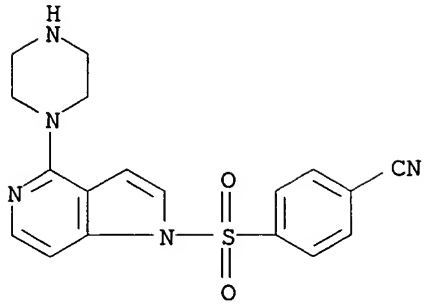
● HCl

RN 637000-05-6 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(3,4-dimethoxyphenyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



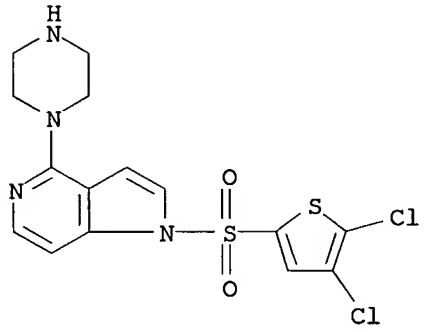
● HCl

RN 637000-06-7 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(4-cyanophenyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



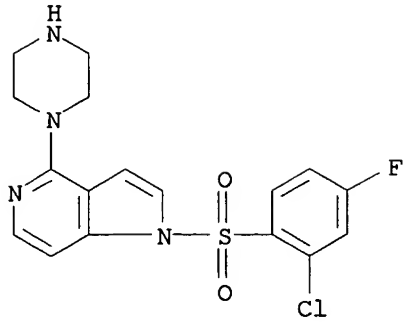
● HCl

RN 637000-07-8 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(4,5-dichloro-2-thienyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



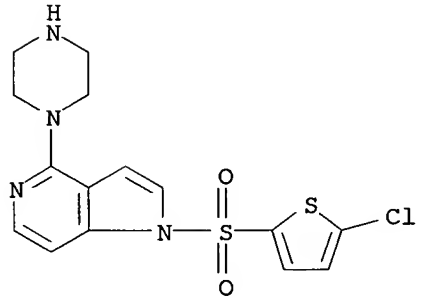
● HCl

RN 637000-08-9 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(2-chloro-4-fluorophenyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



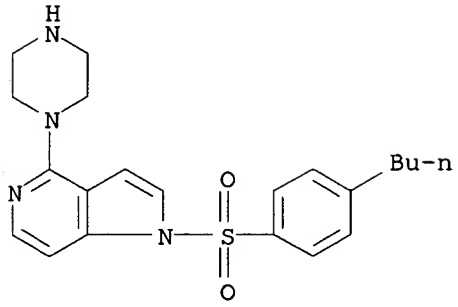
● HCl

RN 637000-10-3 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(5-chloro-2-thienyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



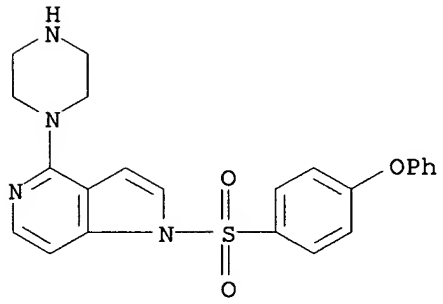
● HCl

RN 637000-11-4 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(4-butylphenyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



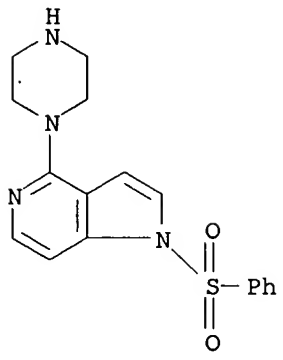
● HCl

RN 637000-12-5 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(4-phenoxyphenyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



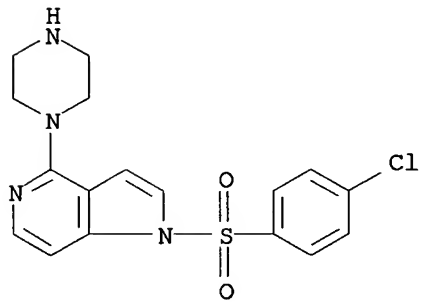
● HCl

RN 637000-13-6 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-(phenylsulfonyl)-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



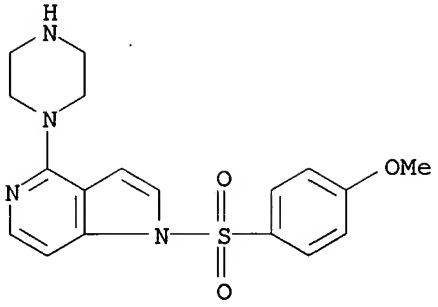
● HCl

RN 637000-14-7 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(4-chlorophenyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



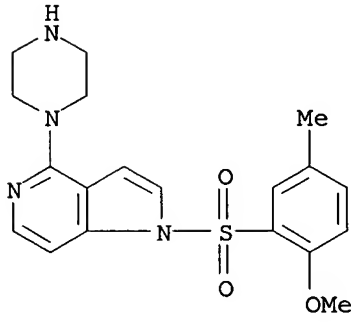
● HCl

RN 637000-15-8 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(4-methoxyphenyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



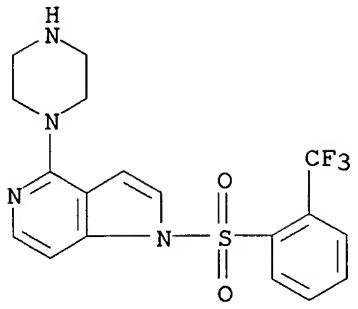
● HCl

RN 637000-16-9 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 1-[(2-methoxy-5-methylphenyl)sulfonyl]-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 637000-17-0 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 4-(1-piperazinyl)-1-[[2-(trifluoromethyl)phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

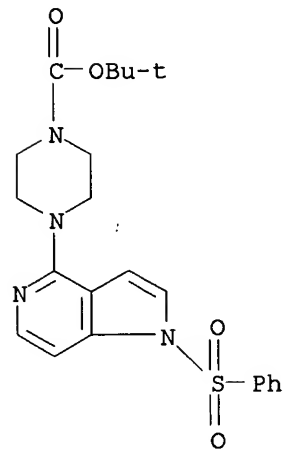


● HCl

IT **637000-21-6P**, tert-Butyl 4-[1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-4-yl]piperazine-1-carboxylate **637000-22-7P**, tert-Butyl 4-[1-[(4-chlorophenyl)sulfonyl]-1H-pyrrolo[3,2-c]pyridin-4-yl]piperazine-1-carboxylate **637000-23-8P**, tert-Butyl 4-[1-[(4-methoxyphenyl)sulfonyl]-1H-pyrrolo[3,2-c]pyridin-4-yl]piperazine-1-carboxylate **637000-24-9P**, tert-Butyl 4-[1-[[2-(trifluoromethyl)phenyl]sulfonyl]-1H-pyrrolo[3,2-c]pyridin-4-yl]piperazine-1-carboxylate **637000-25-0P**, tert-Butyl 4-[1-[(2-methoxy-5-methylphenyl)sulfonyl]-1H-pyrrolo[3,2-c]pyridin-4-yl]piperazine-1-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of naphthelene and pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders)

RN 637000-21-6 CAPLUS

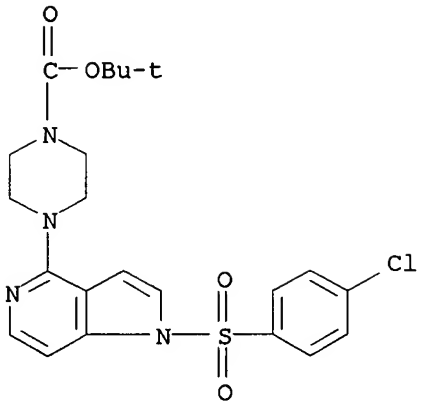
CN 1-Piperazinecarboxylic acid, 4-[1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



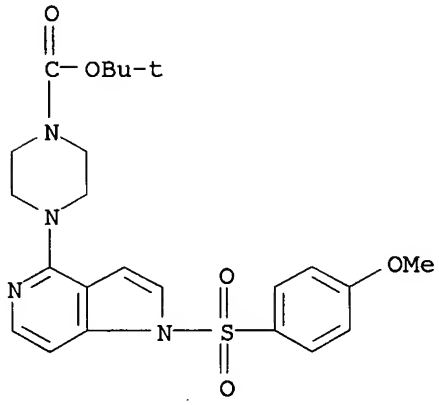
RN 637000-22-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[1-[(4-chlorophenyl)sulfonyl]-1H-pyrrolo[3,2-c]pyridin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX

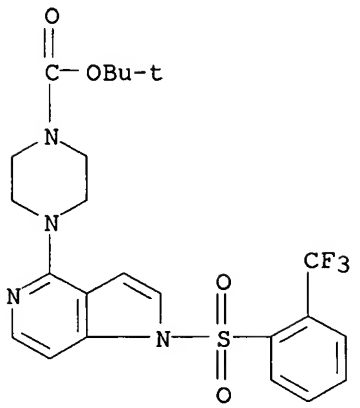
NAME)



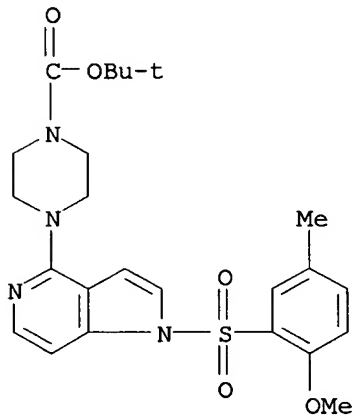
RN 637000-23-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[1-[(4-methoxyphenyl)sulfonyl]-1H-pyrrolo[3,2-c]pyridin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 637000-24-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[1-[[2-(trifluoromethyl)phenyl]sulfonyl]-1H-pyrrolo[3,2-c]pyridin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 637000-25-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[1-[(2-methoxy-5-methylphenyl)sulfonyl]-1H-pyrrolo[3,2-c]pyridin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

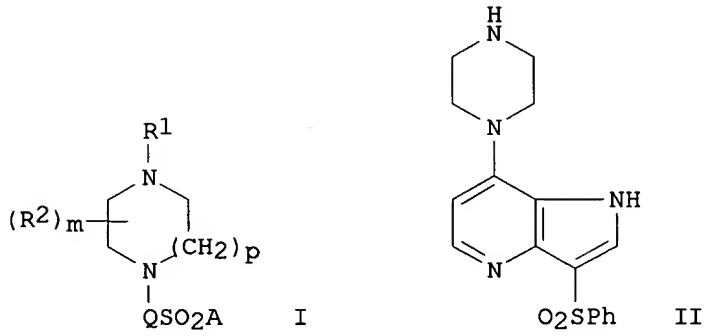


RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:777791 CAPLUS
DN 139:292272
TI Preparation of arylsulfonylquinolinyl- of azaindolylpiperazines as 5-HT6 antagonists
IN Johnson, Christopher Norbert; MacDonald, Gregor James; Mitchell, Darren Jason; Moss, Stephen Frederick; Thompson, Mervyn; Witty, David
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 2003080608 A2 20031002 WO 2003-EP3195 20030325
WO 2003080608 A3 20040205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003226724 A1 20031008 AU 2003-226724 20030325
EP 1497291 A2 20050119 EP 2003-744860 20030325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 2005124626 A1 20050609 US 2003-509077 20030325
JP 2005527542 T2 20050915 JP 2003-578362 20030325
PRAI GB 2002-7275 A 20020327
GB 2002-7278 A 20020327
GB 2002-7281 A 20020327
GB 2002-7282 A 20020327
WO 2003-EP3195 W 20030325
OS MARPAT 139:292272
GI

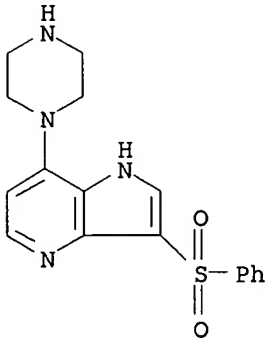


I II

AB Title compds. I [R1, R2 = H, alkyl; R1R2, R22 = (CH2)1-4; Q = (un)substituted quinolinyl, pyrrolopyridinyl; A = (un)substituted aryl; m = 1-4; p = 1, 2] were prepd. for use as 5-HT6 antagonists in the treatment of CNS and other disorders. Thus, 3-chloro-4-nitropyridine was treated with 1-tert.-butoxycarbonylpiperazine, cyclized with CH2:CHMgBr to 7-tert.-butoxycarbonylpiperazin-1-yl-1H-pyrrolo[3,2-b]pyridine, which was treated with Ph2S2, oxidized to the sulfone. and deblocked to give the title compd. II.

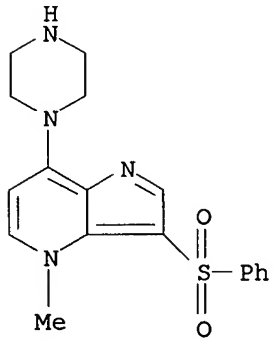
IT **608142-77-4P 608142-78-5P 608142-79-6P 608142-80-9P 608142-81-0P 608142-82-1P 608142-83-2P 608142-84-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylsulfonylquinolinyl- of azaindolylpiperazines as 5-HT6 antagonists)

RN 608142-77-4 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine, 3-(phenylsulfonyl)-7-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

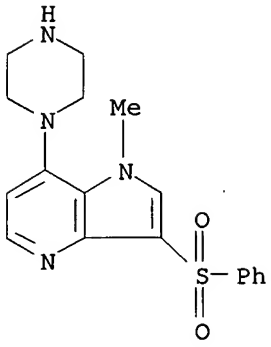


● HCl

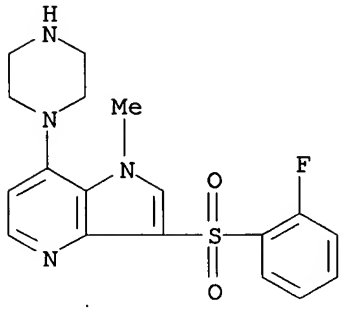
RN 608142-78-5 CAPLUS
CN 4H-Pyrrolo[3,2-b]pyridine, 4-methyl-3-(phenylsulfonyl)-7-(1-piperazinyl)-(9CI) (CA INDEX NAME)



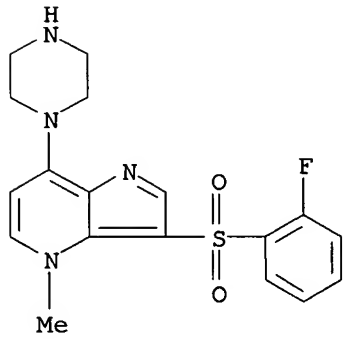
RN 608142-79-6 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine, 1-methyl-3-(phenylsulfonyl)-7-(1-piperazinyl)-(9CI) (CA INDEX NAME)



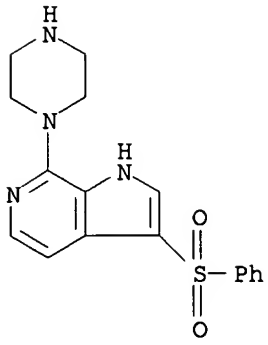
RN 608142-80-9 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine, 3-[(2-fluorophenyl)sulfonyl]-1-methyl-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 608142-81-0 CAPLUS
CN 4H-Pyrrolo[3,2-b]pyridine, 3-[(2-fluorophenyl)sulfonyl]-4-methyl-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)

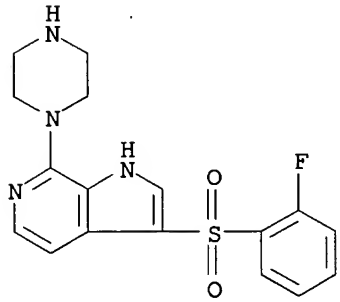


RN 608142-82-1 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 3-(phenylsulfonyl)-7-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



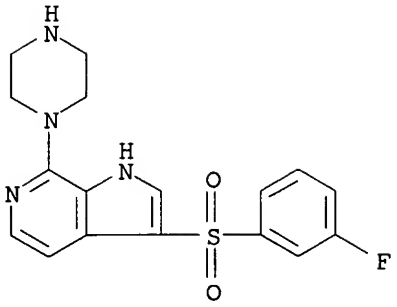
● HCl

RN 608142-83-2 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 3-[(2-fluorophenyl)sulfonyl]-7-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

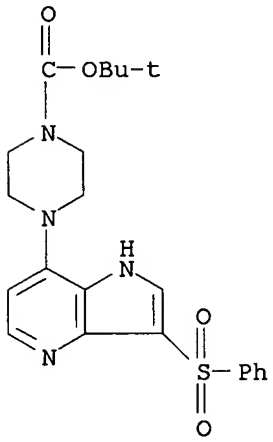
RN 608142-84-3 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine, 3-[(3-fluorophenyl)sulfonyl]-7-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



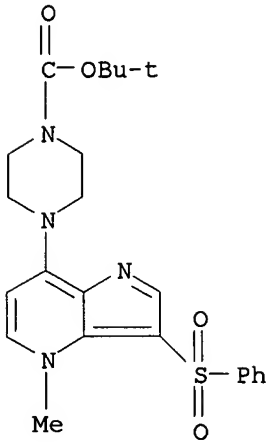
● HCl

IT **608142-96-7P 608142-97-8P 608142-98-9P**
608143-01-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arylsulfonylquinolinyl- of azaindolylpiperazines as 5-HT6 antagonists)

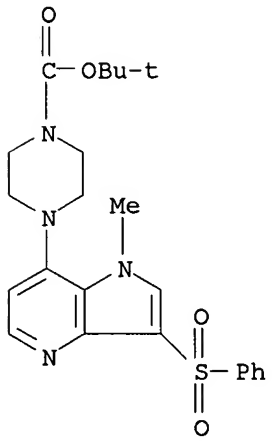
RN 608142-96-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-(phenylsulfonyl)-1H-pyrrolo[3,2-b]pyridin-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



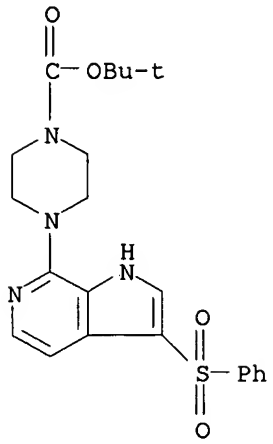
RN 608142-97-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-methyl-3-(phenylsulfonyl)-4H-pyrrolo[3,2-b]pyridin-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 608142-98-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[1-methyl-3-(phenylsulfonyl)-1H-pyrrolo[3,2-b]pyridin-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 608143-01-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-(phenylsulfonyl)-1H-pyrrolo[2,3-c]pyridin-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:633708 CAPLUS
DN 139:164812
TI Preparation of heterocyclic sulfonamide compounds with 5-HT6 receptor affinity
IN Ahmed, Mahmood; Bromidge, Steve
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003066632	A1	20030814	WO 2003-EP1117	20030204
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003244480	A1	20030902	AU 2003-244480	20030204
	EP 1472253	A1	20041103	EP 2003-737311	20030204
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	US 2005090496	A1	20050428	US 2003-503682	20030204
	JP 2005525332	T2	20050825	JP 2003-566005	20030204
PRAI	GB 2002-2679	A	20020205		
	WO 2003-EP1117	W	20030204		

OS MARPAT 139:164812
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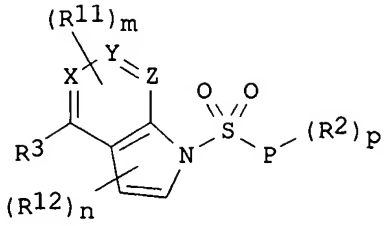


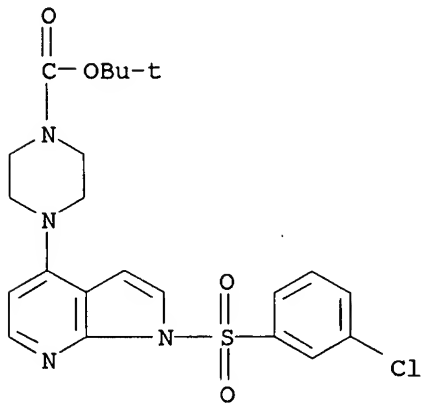
I

AB Heterocyclic sulfonyl compds. [I; P = (hetero)aryl; R11, R12 = halogen, C1-6 alkyl, C1-6 (hydroxy)alkoxy, C1-6 alkanoyl, CN, CF3, OCF3, phenyloxy, benzyloxy, C3-6 cycloalkyloxy; R2 = halogen, C1-6 (hydroxy)alkyl, C3-6 cycloalkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 alkylsulfinyl, C1-6alkylsulfonoyl, C1-16 alkanoyl, CN, CF3, OCH2CF3, OCF3, C1-6 alkoxycarbonyl, alkoxyalkoxy, nitro, (un)substituted amino, etc.; R3 = 5-7-membered heterocyclic ring or a bicyclic heterocyclic ring contg. 1-3 heteroatoms selected from nitrogen, sulfur or oxygen with the ring being optionally C- and/or N-substituted by one or more C1-6-alkyl; X, Y, Z = N, CH, provided that one or two of X, Y, and Z represent N; m, n = 0-4; p = 0-5; e.g., 4-[1-(3-chlorobenzenesulfonyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]piperazine hydrochloride] which have 5-HT6 receptor affinity (e.g., pKi >8 at human cloned 5-HT6 receptors), useful in the treatment of CNS (e.g., Alzheimer's disease) and other disorders (no data), are prepd.

IT **577768-57-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in the prepn. of heterocyclic sulfonamide compds. with 5-HT6 receptor affinity)

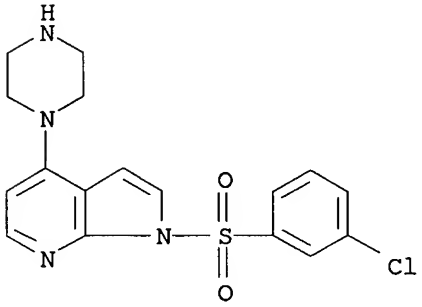
RN 577768-57-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[1-[(3-chlorophenyl)sulfonyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **577768-55-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic sulfonamide compds. with 5-HT6 receptor

affinity)
RN 577768-55-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(3-chlorophenyl)sulfonyl]-4-(1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:353426 CAPLUS
DN 136:369738
TI Preparation of 1-aryl- or 1-alkylsulfonyl-heterocyclylbenzazoles as 5-hydroxytryptamine-6 ligands
IN Kelly, Michael Gerard; Cole, Derek Cecil
PA American Home Products Corporation, USA
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002036562	A2	20020510	WO 2001-US45389	20011031
	WO 2002036562	A3	20030123		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	AU 2002020051	A5	20020515	AU 2002-20051	20011031
	EP 1343756	A2	20030917	EP 2001-992697	20011031
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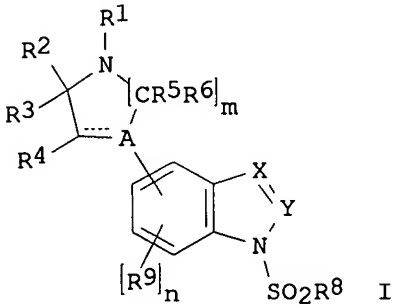
	JP 2004513111	T2	20040430	JP 2002-539322	20011031

	NZ 525592	A	20040730	NZ 2001-525592	20011031
	NO 2003001977	A	20030630	NO 2003-1977	20030430
	ZA 2003004188	A	20040830	ZA 2003-4188	20030529
PRAI	US 2000-245118P	P	20001102		
	WO 2001-US45389	W	20011031		

OS MARPAT 136:369738

GI



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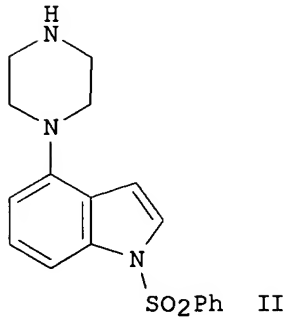


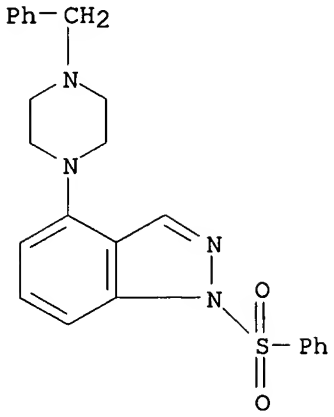
II

AB The title compds. [I; A = C, CR10, N; X = CR11, N; Y = CR7, N with the proviso that when X = N, then Y must be CR7; R1 = H, alkylcarbonyl, alkoxycarbonyl, etc.; R2-R6 = H, halo, OH, alkyl; R7, R11 = H, halo, alkyl, etc.; R8 = alkyl, aryl, heteroaryl; R9 = H, halo, alkyl, etc.; R10 = H, OH, alkoxy; m = 1-3; n = 0-3] and their salts, useful in the therapeutic treatment of disorders related to or affected by the 5-HT6 receptor, were prepd. Thus, protecting 1H-indole-4-ylpiperazine with di-tert-Bu dicarbonate followed by reacting the resulting tert-Bu 4-(1H-indol-4-yl)piperazine-1-carboxylate with benzenesulfonyl chloride (81%), and deprotection (99%) afforded II.HCl which showed Ki of 1.0 nM against 5-HT6 binding.

IT **423174-78-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(1-aryl- or 1-alkylsulfonyl-heterocyclylbenzazoles as 5-hydroxytryptamine-6 ligands)

RN 423174-78-1 CAPLUS

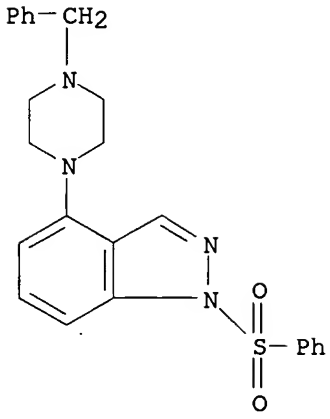
CN 1H-Indazole, 4-[4-(phenylmethyl)-1-piperazinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



IT **423174-76-9P 423174-79-2P 423174-81-6P**
423174-82-7P 423174-84-9P 423174-85-0P
423174-87-2P 423174-89-4P 423174-90-7P
423174-93-0P 423174-94-1P 423174-95-2P
423174-96-3P 423174-97-4P 423174-98-5P
423175-01-3P 423175-02-4P 423175-04-6P
423175-05-7P 423175-06-8P 423175-07-9P
423175-08-0P 423175-10-4P 423175-14-8P
423175-16-0P 423175-20-6P 423175-22-8P
423175-24-0P 423175-26-2P 423175-27-3P
423175-28-4P 423175-29-5P 423175-30-8P
423175-32-0P 423175-34-2P 423175-35-3P
423175-37-5P 423175-38-6P 423175-43-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(1-aryl- or 1-alkylsulfonyl-heterocyclylbenzazoles as 5-hydroxytryptamine-6 ligands)

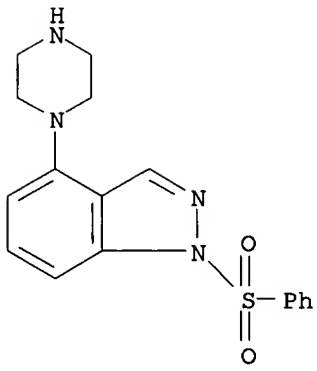
RN 423174-76-9 CAPLUS

CN 1H-Indazole, 4-[4-(phenylmethyl)-1-piperazinyl]-1-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



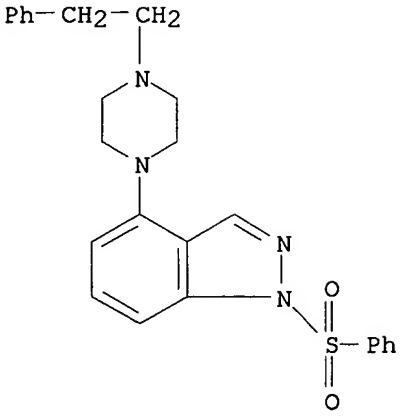
● HCl

RN 423174-79-2 CAPLUS
CN 1H-Indazole, 1-(phenylsulfonyl)-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



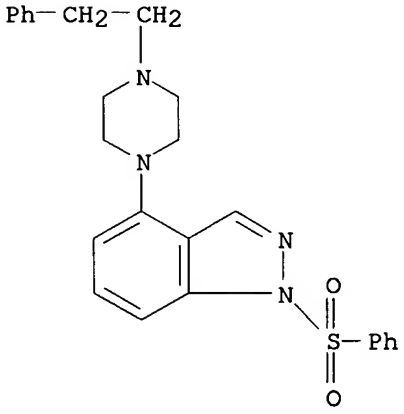
● HCl

RN 423174-81-6 CAPLUS
CN 1H-Indazole, 4-[4-(2-phenylethyl)-1-piperazinyl]-1-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



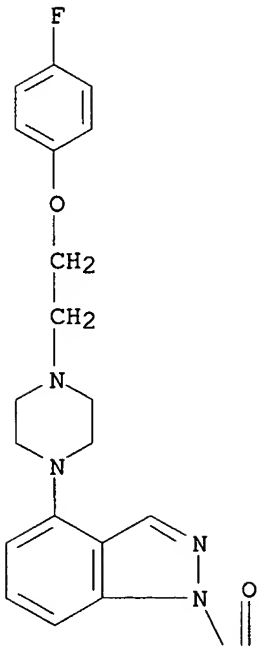
● HCl

RN 423174-82-7 CAPLUS
CN 1H-Indazole, 4-[4-(2-phenylethyl)-1-piperazinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

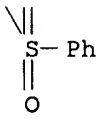


RN 423174-84-9 CAPLUS
CN 1H-Indazole, 4-[4-[2-(4-fluorophenoxy)ethyl]-1-piperazinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

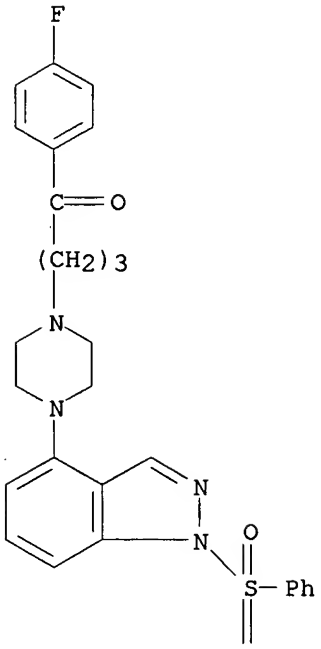


PAGE 2-A



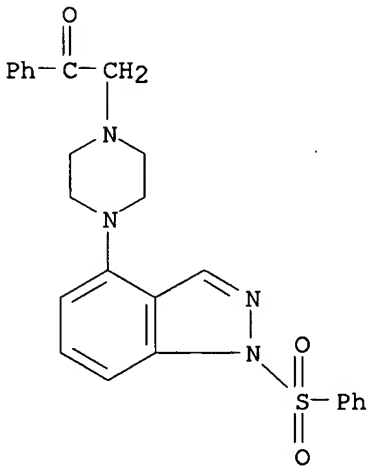
RN 423174-85-0 CAPLUS
CN 1H-Indazole, 4-[4-[4-(4-fluorophenyl)-4-oxobutyl]-1-piperazinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

RN 423174-87-2 CAPLUS
CN 1H-Indazole, 4-[4-(2-oxo-2-phenylethyl)-1-piperazinyl]-1-(phenylsulfonyl)-
(9CI) (CA INDEX NAME)



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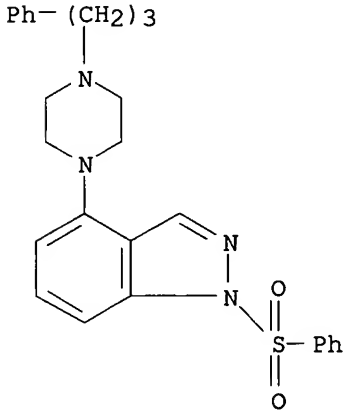

RN 423174-90-7 CAPLUS
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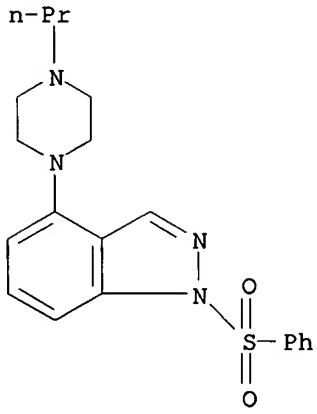

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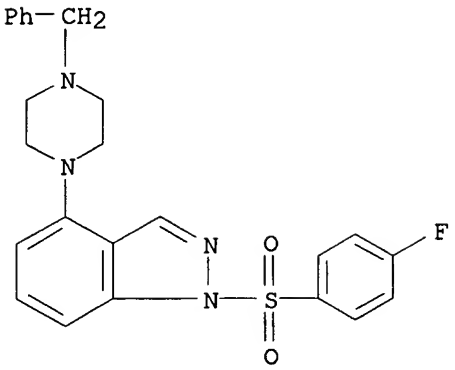

RN 423174-94-1 CAPLUS
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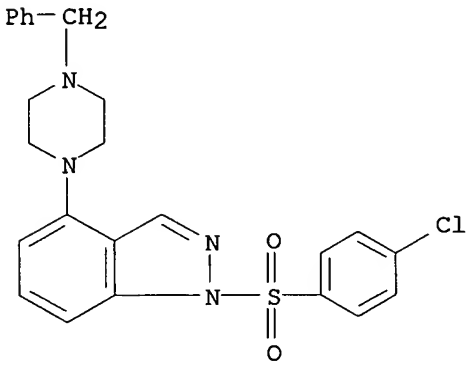

RN 423174-95-2 CAPLUS
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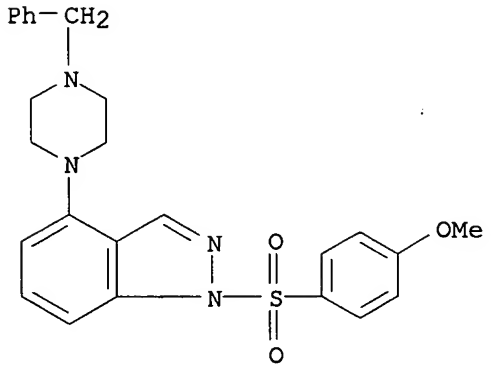

RN 423174-96-3 CAPLUS
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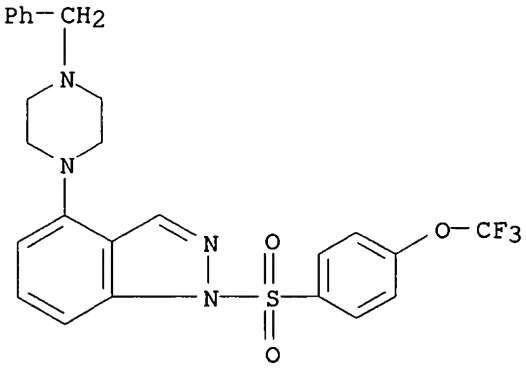
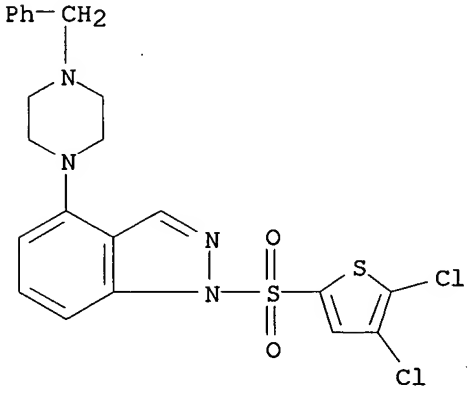
(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 423174-97-4 CAPLUS
CN 1H-Indazole, 1-[(4,5-dichloro-2-thienyl)sulfonyl]-4-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



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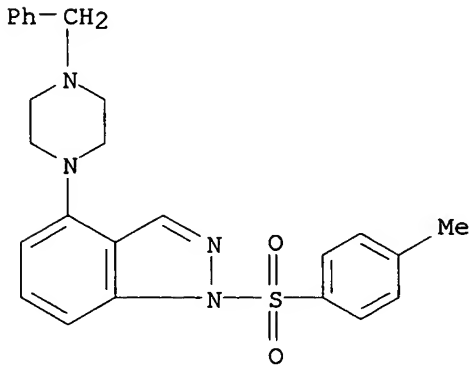

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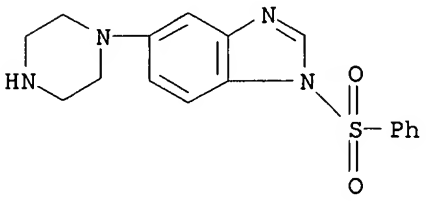

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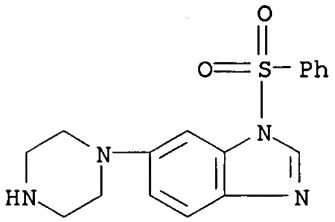

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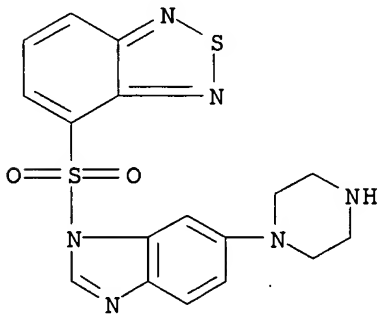

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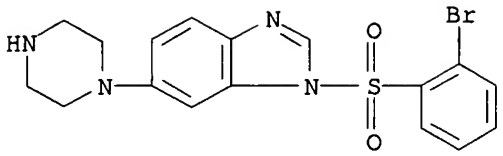

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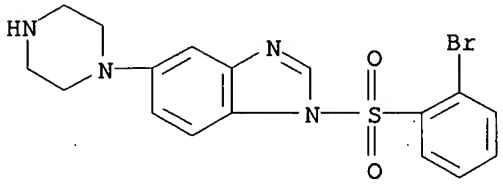

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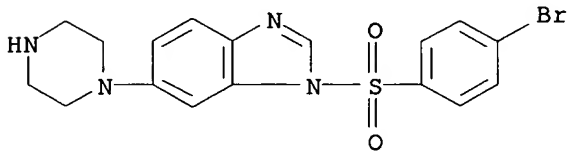

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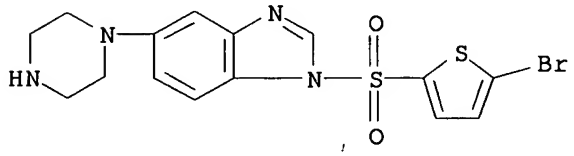

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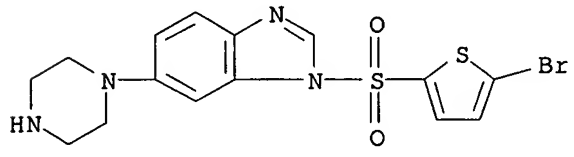

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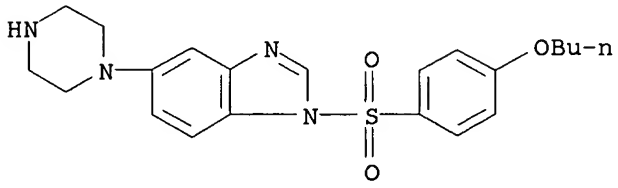

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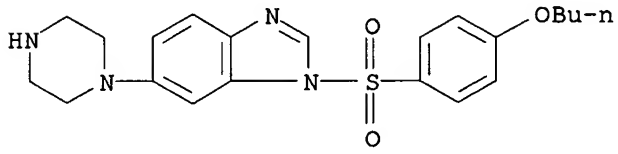

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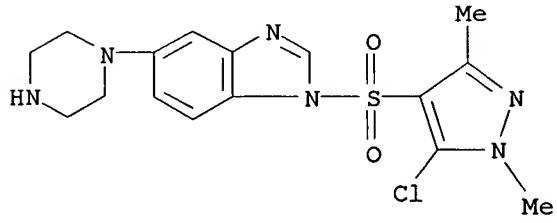

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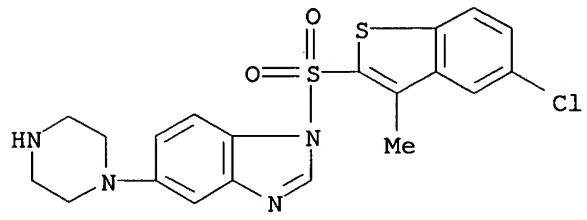

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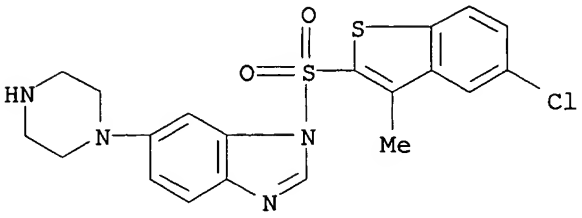

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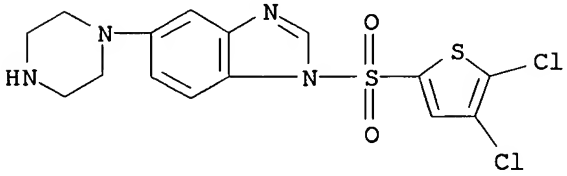

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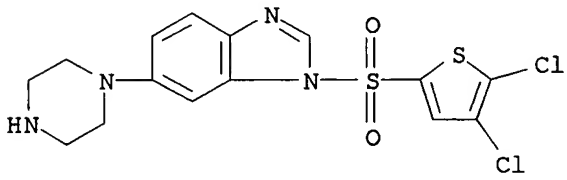

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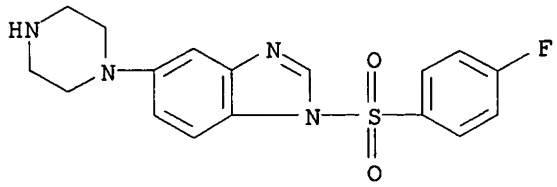

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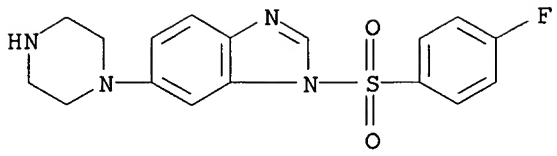
CN 1H-Benzimidazole, 1-[(4-fluorophenyl)sulfonyl]-6-(1-piperazinyl)- (9CI) (CA INDEX NAME)



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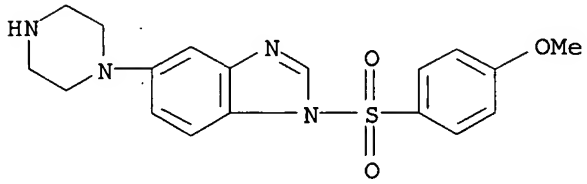

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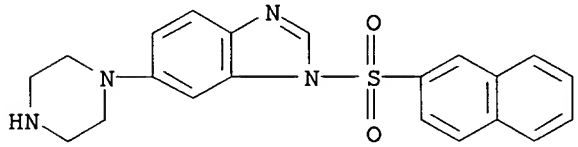

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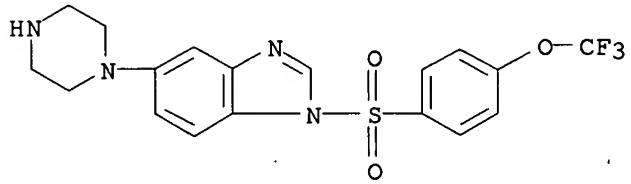

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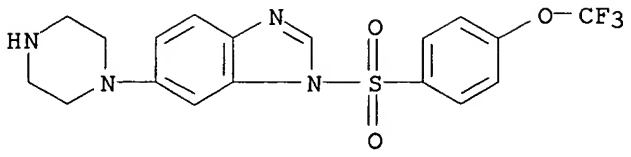

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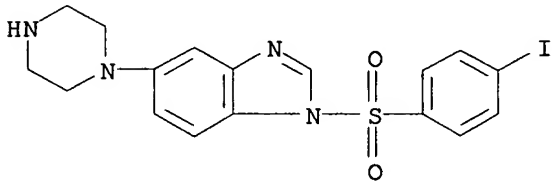

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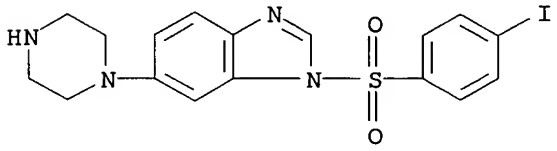

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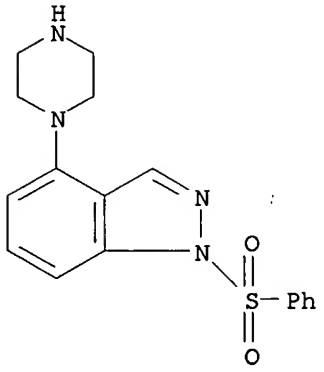

L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:468208 CAPLUS
DN 135:61353
TI Preparation of bicyclic piperidine and piperazine compounds having 5-HT6

receptor affinity

IN Maddaford, Shawn; Xin, Tao; Slassi, Abdelmalik; Tehim, Ashok; Qiao, Qi

PA Nps Allelix Corp., Can.

SO U.S., 29 pp., Cont.-in-part of U.S. Ser. No. 97,008.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	CA 2335285	AA	19991223	CA 1999-2335285	19990610
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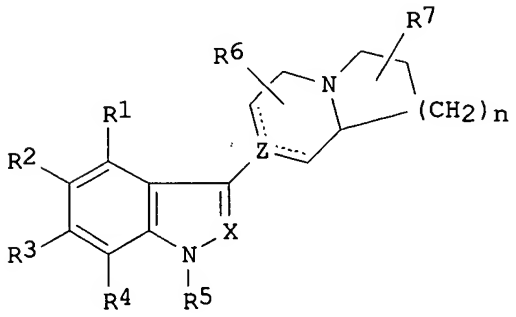


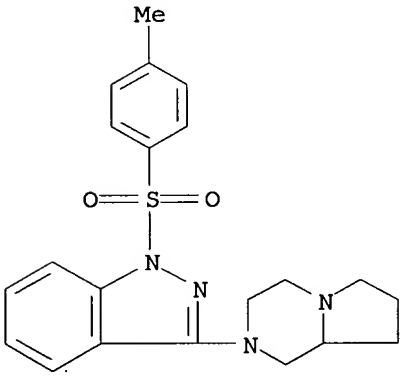
I

AB Title compds. I [R1-R4 = H, halo, OH,alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylthio, alkanoyl, alkanoyloxy, NO2, CN, (un)substituted Ph, furyl, thienyl, OPh, NH2, CONH2, SO2NH2, CH2SO2NH2, CO2H, NHCHO, NHCH:NH, C(:NH)NH2, acyl, acyloxy, SCF3, SO2CF3, CHO, CF3,

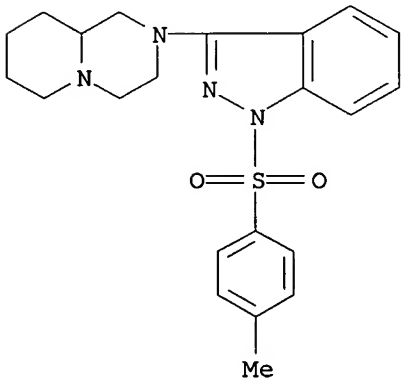
OCF3; R5 = SO2Ar, COAr, Ar, CH2Ar; R6 = H, alkyl, (un)substituted Ph, CH2Ph; R7 = H, alkyl, alkoxy, alkylthio, (un)substituted Ph, CH2Ph, OPh, OCH2Ph; n = 1-3; X = CR8, N; R8 = H, alkyl, CH2Ph; Z = C, CH, N; Ar = (un)substituted Ph, pyridyl, thienyl, furanyl, naphthyl, quinolyl, isoquinolyl] were prepd. as 5-HT6 receptor inhibitors for treatment of diseases such as schizophrenia. Thus, 1-acetyl-3-indolinone was treated with 1,4-diazabicyclo[4.3.0]nonane and deacetylated to give 3-(1,4-diazabicyclo[4.3.0]non-4-yl)-1H-indole which was converted to the 1-(2-naphthalenesulfonyl) deriv. with 2-naphthalenesulfonyl chloride. At 100 nM this product gave >80% inhibition of the 5-HT6 receptor and <20% inhibition of the 5-HT2A, 5-HT2C, and 5-HT7 receptors.

IT **252892-07-2P 252892-09-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic piperidine and piperazine compds. as 5-HT6 receptor antagonists)

RN 252892-07-2 CAPLUS
CN 1H-Indazole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 252892-09-4 CAPLUS
CN 1H-Indazole, 1-[(4-methylphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



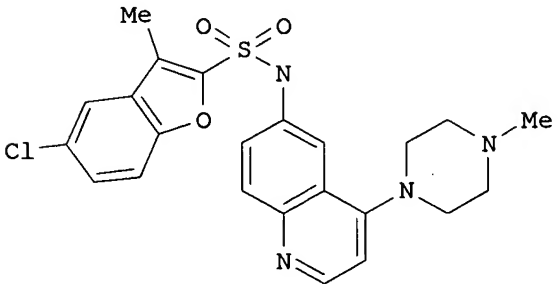
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:338517 CAPLUS
DN 134:353316
TI Preparation of N-(piperazinylquinolyl)aranesulfonamides and analogs as 5-HT6 receptor antagonists
IN Bromidge, Steven Mark; Serafinowska, Halina Teresa
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001032646	A2	20010510	WO 2000-EP10911	20001102
	WO 2001032646	A3	20011227		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1228066	A2	20020807	EP 2000-974509	20001102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003513085	T2	20030408	JP 2001-534797	20001102
PRAI	GB 1999-26302	A	19991105		
	WO 2000-EP10911	W	20001102		

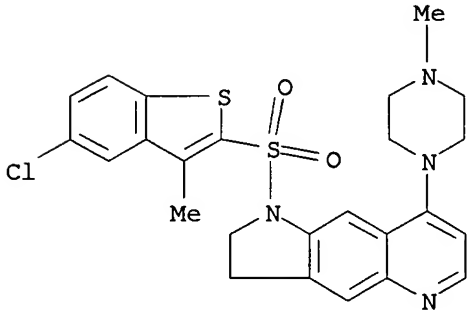
OS MARPAT 134:353316
GI



II

AB R1Z1SO2NR2ZR4 [I; R1 = (un)substituted (hetero)aryl; R2 = H or alkyl; R4 = Z2R5; R5 = heterocyclyl; Z = e.g., (un)substituted quinoline-6,n-diyl; Z1 = bons or alk(en)ylene; Z2 = bond, CH2, O, (alkyl)imino; n = 2-4] were prepd. Thus, 4-(4-methylpiperazin-1-yl)quinoline-6-amine was amidated by 5-chloro-3-methylbenzofuran-2-sulfonyl chloride (prepn. each given) to give title compd. II. Data for biol. activity of I were given.

IT **338796-80-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(piperazinylquinolyl)aranesulfonamides and analogs as 5-HT6 receptor antagonists)
RN 338796-80-8 CAPLUS
CN 1H-Pyrrolo[2,3-g]quinoline, 1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-2,3-dihydro-8-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)

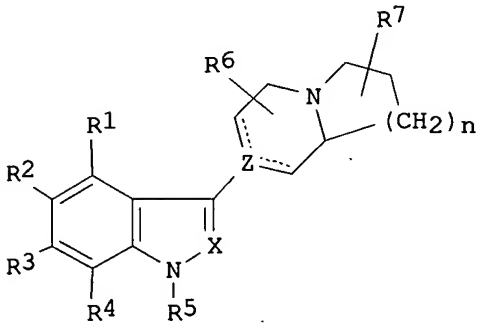


●x HCl

L4 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:811242 CAPLUS
DN 132:49982
TI Bicyclic piperidine and piperazine compounds having 5HT6 receptor affinity
IN Maddaford, Shawn; Xin, Tao; Slassi, Abdelmalik; Tehim, Ashok
PA Allelix Biopharmaceuticals Inc., Can.
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9965906	A1	19991223	WO 1999-CA543	19990610
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6251893	B1	20010626	US 1998-156495	19980918
CA 2335285	AA	19991223	CA 1999-2335285	19990610
AU 9942531	A1	20000105	AU 1999-42531	19990610
AU 765256	B2	20030911		
EP 1105393	A1	20010613	EP 1999-957059	19990610

EP 1105393 B1 20031001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
JP 2003523922 T2 20030812 JP 2000-554731 19990610
AT 251163 E 20031015 AT 1999-957059 19990610
PRAI US 1998-97008 A 19980615
US 1998-156495 A 19980918
WO 1999-CA543 W 19990610
OS MARPAT 132:49982
GI



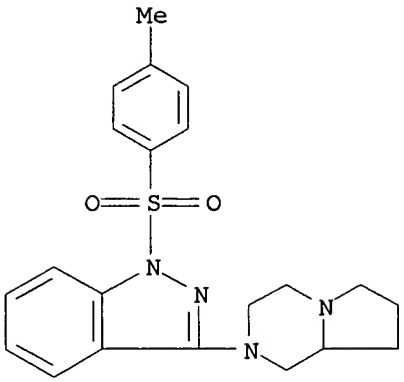
I

AB Title compds. I [R1-R4 = H, halo, OH,alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylthio, alkanoyl, alkanoyloxy, NO2, CN, (un)substituted Ph, furyl, thienyl, OPh, NH2, CONH2, SO2NH2, CH2SO2NH2, CO2H, NHCHO, NHCH:NH, C(:NH)NH2, acyl, acyloxy, SCF3, SO2CF3, CHO, CF3, OCF3; R5 = SO2Ar, COAr, Ar, CH2Ar; R6 = H, alkyl, (un)substituted Ph, CH2Ph; R7 = H, alkyl, alkoxy, alkylthio, (un)substituted Ph, CH2Ph, OPh, OCH2Ph;n = 1-3; X = CR8, N; R8 = H, alkyl, CH2Ph; Z = C, CH, N; Ar = (un)substituted Ph, pyridyl, thienyl, furanyl, naphthyl, quinolyl, isoquinolyl] were prepd. for use as inhibitors of the 5-HT6 receptor. Thus, 1-acetyl-3-indolinone was treated with 1,4-diazabicyclo[4.3.0]nonane and deacetylated to give 3-(1,4-diazabicyclo[4.3.0]non-4-yl)-1H-indole which was converted to the 1-(2-naphthalenesulfonyl) deriv. with 2-naphthalenesulfonyl chloride. At 100 nM this product gave >80% inhibition of the 5-HT6 receptor and <20% inhibition of the 5-HT2A, 5-HT2C, and 5-HT7 receptors.

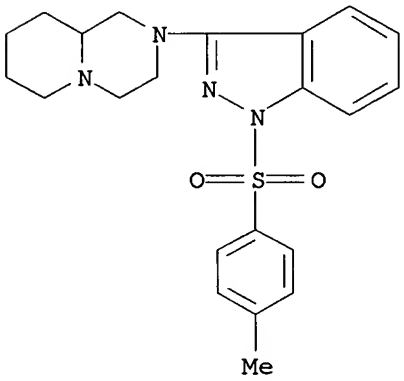
IT **252892-07-2P 252892-09-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic piperidine and piperazine compds. as 5HT6 receptor antagonists)

RN 252892-07-2 CAPLUS

CN 1H-Indazole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 252892-09-4 CAPLUS
CN 1H-Indazole, 1-[(4-methylphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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